

... sequences, etc. Only" Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

See claims attached. Please do structure search and inventor name(s) search. Display results to show identification of source, and RN #, compound name & structure of identified compounds. Search compounds of Formula I as defined for elected Group I and of Formula II.

Please limit the search to claim 2. Any art the anticipates or renders obvious claim 2 will do the same for claim 1.

10/595734

***** INVENTOR RESULTS *****

=> d his l14

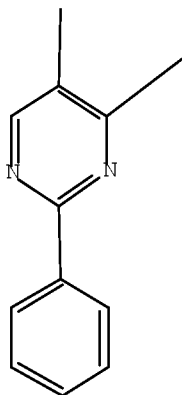
(FILE 'HCAPLUS' ENTERED AT 11:04:34 ON 30 APR 2008)

L14 1 S ((L11-L13 AND L8)) OR (L1 AND L8)

=> d que l14

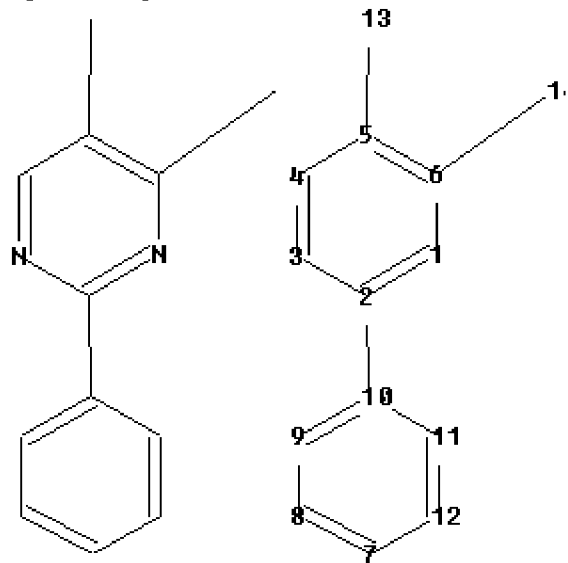
L1 1 SEA FILE=HCAPLUS ABB=ON PLU=ON US20070293464/PN

L3 STR



Structure attributes must be viewed using STN Express query preparation:

Uploading L1.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

ring/chain nodes :

13 14

chain bonds :

2-10

ring/chain bonds :

5-13 6-14

10/595734

ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
5-13 6-14
exact bonds :
2-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS

L4 (22531)SEA FILE=REGISTRY SSS FUL L3
L5 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:

Uploading L2.str



chain nodes :
13 33 34 35
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 15 16 17 18 19 20 21 22 23 24 25
26 27 28 29 30 31 32
chain bonds :
2-10 6-13 16-35 22-33 28-34
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 15-16 15-20 16-17
17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29
29-30 30-31
31-32
exact/norm bonds :
6-13 16-35 22-33 28-34

10/595734

exact bonds :

2-10

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 15-16 15-20 16-17

17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29

29-30 30-31

31-32

isolated ring systems :

containing 1 : 7 : 15 : 21 : 27 :

G1:[*1],[*2],[*3]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

21:Atom 22:Atom

23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom

32:Atom 33:CLASS

34:CLASS 35:CLASS

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L6          367 SEA FILE=REGISTRY SUB=L4 SSS FUL L5
L7          367 SEA FILE=REGISTRY ABB=ON  PLU=ON  L6 NOT PMS/CI
L8           60 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L7
L11         137 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("MARTIN RICHARD"/AU OR
           "MARTIN RICHARD A"/AU OR "MARTIN RICHARD ALAN"/AU OR "MARTIN
           RICHARD ALEXANDER"/AU OR "MARTIN RICHARD ALVIN"/AU)
L12          64 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("MOHAN RAJU"/AU OR "MOHAN
           RAJU K"/AU OR "MOHAN RAJU M"/AU)
L13          24 SEA FILE=HCAPLUS ABB=ON  PLU=ON  ("ORDENTLICH P"/AU OR
           "ORDENTLICH PETER"/AU)
L14           1 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (((L11 OR L12 OR L13) AND
           L8)) OR (L1 AND L8)
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=> d his 122

(FILE 'MEDLINE, BIOSIS, DRUGU, EMBASE, PASCAL' ENTERED AT 11:17:39 ON 30 APR 2008)

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L22          8 S L20 OR L21
           SAVE TEMP L22 JAI734MULTIN/A
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FILE 'STNGUIDE' ENTERED AT 11:19:36 ON 30 APR 2008

=> d que 122

```
L17          130 SEA MARTIN RICHARD/AU
L18           72 SEA MOHAN RAJU/AU
L19           37 SEA ORDENTLICH PETER/AU
L20           8 SEA L17 AND (L18 OR L19)
L21           8 SEA L18 AND L19
L22           8 SEA L20 OR L21
```

=> dup rem 114 122

FILE 'HCAPLUS' ENTERED AT 11:21:08 ON 30 APR 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

10/595734

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE 'MEDLINE' ENTERED AT 11:21:08 ON 30 APR 2008

FILE 'BIOSIS' ENTERED AT 11:21:08 ON 30 APR 2008
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FILE 'EMBASE' ENTERED AT 11:21:08 ON 30 APR 2008
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FILE 'PASCAL' ENTERED AT 11:21:08 ON 30 APR 2008
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COPYRIGHT (C) 2008 INIST-CNRS. All rights reserved.
PROCESSING COMPLETED FOR L14
PROCESSING COMPLETED FOR L22
L23 4 DUP REM L14 L22 (5 DUPLICATES REMOVED)
ANSWER '1' FROM FILE HCAPLUS
ANSWERS '2-3' FROM FILE MEDLINE
ANSWER '4' FROM FILE BIOSIS

=> d l23 1 ibib abs hitstr; d l23 2-4 ibib ab

L23 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:451367 HCAPLUS Full-text
DOCUMENT NUMBER: 142:476293
TITLE: Substituted pyrimidine compositions and methods using
them for the treatment of NGFI-B-related diseases
INVENTOR(S): Martin, Richard; Mohan, Raju;
Ordestlich, Peter
PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005047268	A2	20050526	WO 2004-US37642	20041109
WO 2005047268	A3	20050721		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20070293464	A1	20071220	US 2007-595734	20070522 <--
PRIORITY APPLN. INFO.:			US 2003-519030P	P 20031110
			WO 2004-US37642	W 20041109
OTHER SOURCE(S):	MARPAT 142:476293			

10/595734

AB Compns. and methods using substituted pyrimidines are provided. The substituted pyrimidines may be used to treat diseases modulated by NGFI-B family activity.

IT 300837-31-4 320418-43-7 320418-48-2

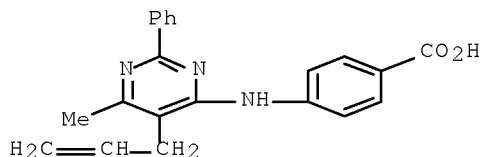
320418-49-3 330819-79-9 338395-36-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrimidine derivs. for treatment of NGFI-B-related diseases)

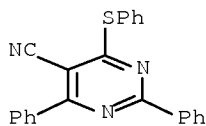
RN 300837-31-4 HCAPLUS

CN Benzoic acid, 4-[[6-methyl-2-phenyl-5-(2-propenyl)-4-pyrimidinyl]amino]- (9CI) (CA INDEX NAME)



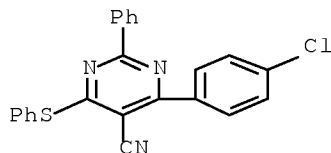
RN 320418-43-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylthio)- (CA INDEX NAME)



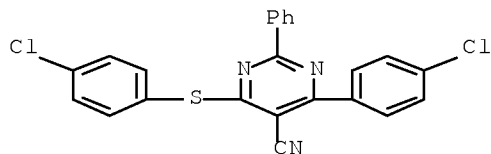
RN 320418-48-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-2-phenyl-6-(phenylthio)- (CA INDEX NAME)



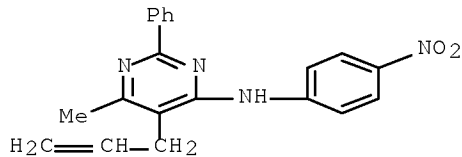
RN 320418-49-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(4-chlorophenyl)thio]-2-phenyl- (CA INDEX NAME)

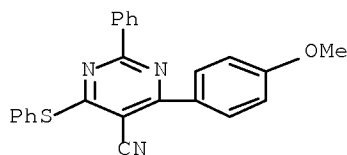


10/595734

RN 330819-79-9 HCAPLUS
CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)-
(CA INDEX NAME)



RN 338395-36-1 HCAPLUS
CN 5-Pyrimidinecarbonitrile, 4-(4-methoxyphenyl)-2-phenyl-6-(phenylthio)-
(CA INDEX NAME)



L23 ANSWER 2 OF 4 MEDLINE on STN DUPLICATE 1
ACCESSION NUMBER: 2004315023 MEDLINE Full-text
DOCUMENT NUMBER: PubMed ID: 15184675
TITLE: Regulation of PPARGgamma coactivator 1alpha (PGC-1alpha) signaling by an estrogen-related receptor alpha (ERRalpha) ligand.
AUTHOR: Willy Patricia J; Murray Ian R; Qian Jing; Busch Brett B; Stevens William C Jr; Martin Richard; Mohan Raju; Zhou Sihong; Ordentlich Peter; Wei Ping; Sapp Douglas W; Horlick Robert A; Heyman Richard A; Schulman Ira G
CORPORATE SOURCE: Department of Biology, X-CEPT Therapeutics, Inc., San Diego, CA 92121, USA.. pwilly@x-ceptor.com
SOURCE: Proceedings of the National Academy of Sciences of the United States of America, (2004 Jun 15) Vol. 101, No. 24, pp. 8912-7. Electronic Publication: 2004-06-07.
Journal code: 7505876. ISSN: 0027-8424.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200408
ENTRY DATE: Entered STN: 26 Jun 2004
Last Updated on STN: 6 Aug 2004

10/595734

Entered Medline: 5 Aug 2004

AB Peroxisome proliferator-activated receptor gamma (PPARGgamma) coactivator lalpha (PGC-lalpha) is a transcriptional coactivator that is a key component in the regulation of energy production and utilization in metabolic tissues. Recent work has identified PGC-lalpha as a strong coactivator of the orphan nuclear receptor estrogen-related receptor alpha (ERRalpha), implicating ERRalpha as a potential mediator of PGC-lalpha action. To understand the role of ERRalpha in PGC-lalpha signaling, a parallel approach of high-throughput screening and gene-expression analysis was used to identify ERRalpha small-molecule regulators and target genes. We report here the identification of a potent and selective ERRalpha inverse agonist that interferes effectively with PGC-lalpha/ERRalpha-dependent signaling. This inverse agonist inhibits the constitutive activity of ERRalpha in both biochemical and cell-based assays. Also, we demonstrate that monoamine oxidase B is an ERRalpha target gene whose expression is regulated by PGC-lalpha and ERRalpha and inhibited by the ERRalpha inverse agonist. The discovery of potent and selective ERRalpha modulators and their effect on PGC-lalpha signaling provides mechanistic insight into gene regulation by PGC-lalpha. These findings validate ERRalpha as a promising therapeutic target in the treatment of metabolic disorders, including diabetes and obesity.

L23 ANSWER 3 OF 4 MEDLINE on STN DUPLICATE 2
ACCESSION NUMBER: 2004538690 MEDLINE Full-text
DOCUMENT NUMBER: PubMed ID: 15509154
TITLE: Identification of a selective inverse agonist for the orphan nuclear receptor estrogen-related receptor alpha.
AUTHOR: Busch Brett B; Stevens William C Jr; Martin Richard ; Ordentlich Peter; Zhou Sihong; Sapp Douglas W; Horlick Robert A; Mohan Raju
CORPORATE SOURCE: Department of Medicinal Chemistry, X-Ceptor Therapeutics, Inc., 4757 Nexus Center Drive, San Diego, California 92121, USA.
SOURCE: Journal of medicinal chemistry, (2004 Nov 4) Vol. 47, No. 23, pp. 5593-6.
Journal code: 9716531. ISSN: 0022-2623.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200412
ENTRY DATE: Entered STN: 29 Oct 2004
Last Updated on STN: 24 Dec 2004
Entered Medline: 23 Dec 2004

AB The estrogen-related receptor alpha (ERRalpha) is an orphan receptor belonging to the nuclear receptor superfamily. The physiological role of ERRalpha has yet to be established primarily because of lack of a natural ligand. Herein, we describe the discovery of the first potent and selective inverse agonist of ERRalpha. Through in vitro and in vivo studies, these ligands will elucidate the endocrine signaling pathways mediated by ERRalpha including association with human disease states.

L23 ANSWER 4 OF 4 BIOSIS COPYRIGHT (c) 2008 The Thomson Corporation on STN
ACCESSION NUMBER: 2006:280493 BIOSIS Full-text
DOCUMENT NUMBER: PREV200600279166
TITLE: Sar of highly potent full-range modulators of the farnesoid X receptor.
AUTHOR(S): Flatt, Brenton T. [Reprint Author]; Kahl, Jeffrey D.; Busch, Brett B.; Boman, Erik; Liu, Amy; Ordentlich,

10/595734

Peter; Yan, Grace; Mohan, Raju; Martin,
Richard

CORPORATE SOURCE: Exelixis Inc, Dept Chem, San Diego, CA 92121 USA
bflatt@exelixis.com

SOURCE: Abstracts of Papers American Chemical Society, (MAR 13
2005) Vol. 229, No. Part 2, pp. U142-U143.
Meeting Info.: 229th National Meeting of the
American-Chemical-Society. San Diego, CA, USA. March 13
-17, 2005. Amer Chem Soc.
CODEN: ACSRAL. ISSN: 0065-7727.

DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 24 May 2006

Last Updated on STN: 24 May 2006

10/595734

***** QUERY RESULTS *****

=> d his l15

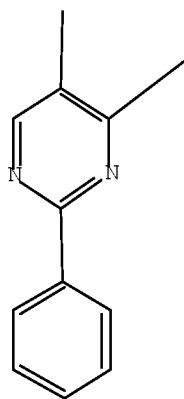
(FILE 'HCAPLUS' ENTERED AT 11:04:34 ON 30 APR 2008)

L15 59 S L8 NOT L14

=> d que l15

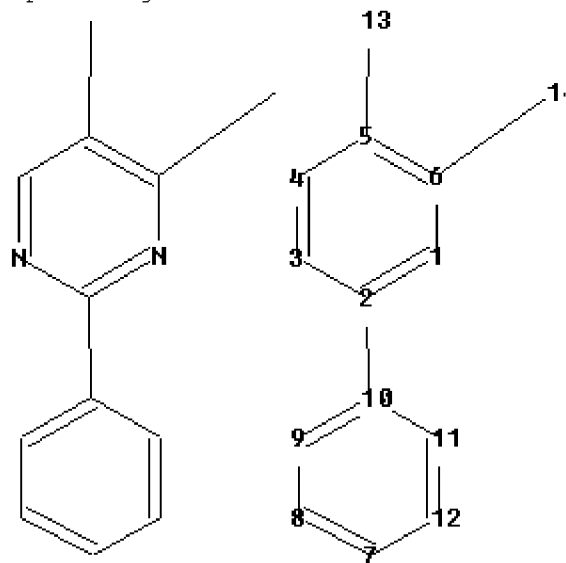
L1 1 SEA FILE=HCAPLUS ABB=ON PLU=ON US20070293464/PN

L3 STR



Structure attributes must be viewed using STN Express query preparation:

Uploading L1.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

ring/chain nodes :

13 14

chain bonds :

2-10

10/595734

ring/chain bonds :
5-13 6-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
5-13 6-14
exact bonds :
2-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

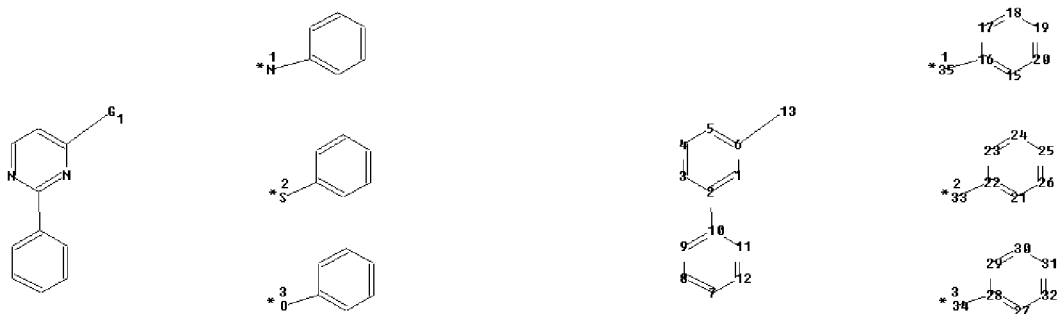
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS

L4 (22531)SEA FILE=REGISTRY SSS FUL L3
L5 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:

Uploading L2.str



chain nodes :
13 33 34 35
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 15 16 17 18 19 20 21 22 23 24 25
26 27 28 29 30 31 32
chain bonds :
2-10 6-13 16-35 22-33 28-34
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 15-16 15-20 16-17
17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29
29-30 30-31
31-32

exact/norm bonds :
 6-13 16-35 22-33 28-34
 exact bonds :
 2-10
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 15-16 15-20 16-17
 17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29
 29-30 30-31
 31-32
 isolated ring systems :
 containing 1 : 7 : 15 : 21 : 27 :

G1:[*1],[*2],[*3]

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:Atom 13:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
 21:Atom 22:Atom
 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
 32:Atom 33:CLASS
 34:CLASS 35:CLASS

L6 367 SEA FILE=REGISTRY SUB=L4 SSS FUL L5
 L7 367 SEA FILE=REGISTRY ABB=ON PLU=ON L6 NOT PMS/CI
 L8 60 SEA FILE=HCAPLUS ABB=ON PLU=ON L7
 L11 137 SEA FILE=HCAPLUS ABB=ON PLU=ON ("MARTIN RICHARD"/AU OR
 "MARTIN RICHARD A"/AU OR "MARTIN RICHARD ALAN"/AU OR "MARTIN
 RICHARD ALEXANDER"/AU OR "MARTIN RICHARD ALVIN"/AU)
 L12 64 SEA FILE=HCAPLUS ABB=ON PLU=ON ("MOHAN RAJU"/AU OR "MOHAN
 RAJU K"/AU OR "MOHAN RAJU M"/AU)
 L13 24 SEA FILE=HCAPLUS ABB=ON PLU=ON ("ORDENTLICH P"/AU OR
 "ORDENTLICH PETER"/AU)
 L14 1 SEA FILE=HCAPLUS ABB=ON PLU=ON (((L11 OR L12 OR L13) AND
 L8)) OR (L1 AND L8)
 L15 59 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 NOT L14

=> d l15 ibib ed abs fhitr hitind 1-59

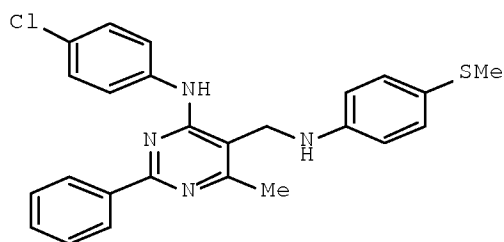
L15 ANSWER 1 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:511151 HCAPLUS Full-text
 TITLE: Preparation of novel derivative of pyrimidine with
 immunotropic activity
 INVENTOR(S): Cieplik, Jerzy; Zimecki, Michal
 PATENT ASSIGNEE(S): Akademia Medyczna im.Piastow Slaskich we Wroclawiu,
 Pol.
 SOURCE: Pol., 4pp.
 CODEN: POXXA7
 DOCUMENT TYPE: Patent
 LANGUAGE: Polish
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----

10/595734

PL 194083 B1 20070430
PRIORITY APPLN. INFO.:
ED Entered STN: 28 Apr 2008
GI

PL 2001-346327 20010306
PL 2001-346327 20010306



I

AB The title compound I was prepared by treating 2-phenyl-4-(4'-chlorophenylamino)-6-methyl-5-hydroxymethylpyrimidine with thionyl chloride followed by condensing the resulting 2-phenyl-4-(4'-chlorophenylamino)-6-methyl-5-chloromethylpyrimidine with p-S-methylphenylamine in a solvent such as benzene, chloroform or THF. New compound I was tested in model of humoral immunity response in mice and showed similar activity as Levamisole at dose 10 µg/mouse and 100 µg/mouse.

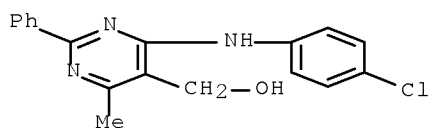
IT 154957-61-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of novel derivative of pyrimidine with immunotropic activity)

RN 154957-61-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 104-96-1, 4-(Methylthio)phenylamine 154957-61-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of novel derivative of pyrimidine with immunotropic activity)

IT 164926-93-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel derivative of pyrimidine with immunotropic activity)

L15 ANSWER 2 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1023400 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 147:357124

TITLE: Use of inhibitors of scavenger receptor class proteins for the treatment of infectious diseases

INVENTOR(S): Hannus, Michael; Martin, Cecilie; Mota, Maria M.; Prudencio, Miguel; Rodrigues, Christina Dias

10/595734

PATENT ASSIGNEE(S): Cenix Bioscience G.m.b.H., Germany; Instituto de
Medicina Molecular, Faculdade de Medicina da
Universidade de Lisboa
SOURCE: PCT Int. Appl., 127pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007101710	A1	20070913	WO 2007-EP2110	20070309
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1832283	A1	20070912	EP 2006-4854	20060309
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			

PRIORITY APPLN. INFO.: EP 2006-4854 A 20060309
US 2006-780567P P 20060309

OTHER SOURCE(S): MARPAT 147:357124

ED Entered STN: 13 Sep 2007

AB The invention relates to the use of inhibitors of scavenger receptor class proteins, in particular ScarB1 for the production of a medicament for treatment of and/or prophylaxis against infections, involving liver cells and/or hematopoietic cells, in particular malaria. Administration of ezetimibe to mice injected with Plasmodium berghei significantly reduced liver infection rate. Small interfering RNAs targeting ScarB1 reduced EEF (Exo-Erythrocytic Form) development in human hepatoma cells infected with Plasmodium berghei sporozoites.

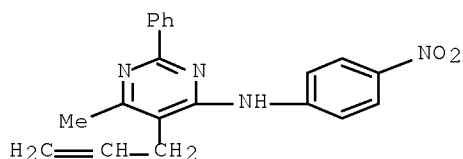
IT 330819-79-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of inhibitors of scavenger receptor class proteins for treatment of infectious diseases)

RN 330819-79-9 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)-(CA INDEX NAME)



CC 1-5 (Pharmacology)
 Section cross-reference(s): 3, 63

IT 50-63-5, Chloroquine-phosphate 58-14-0, Pyrimethamine 79-19-6,
 Hydrazinecarbothioamide 130-95-0D, Chinine, alkaloid 500-92-5,
 Proguanil 536-20-9, 2,4,6-Pyridinetricarboxylic acid 563-41-7
 564-25-0, Doxycycline 747-36-4, Hydroxychloroquinesulfate 946-13-4
 1151-31-1 1521-23-9 2697-61-2 3426-65-1 3440-28-6 4365-60-0
 4381-88-8 5102-18-1 5118-80-9 5165-45-7 10102-94-0 10286-90-5
 13721-16-9 16769-49-6 18015-03-7 18265-72-0 19258-27-6
 24834-68-2 27043-39-6D, Aminopyrimidine, derivs. 31436-27-8
 33350-83-3 34326-53-9 34600-41-4 34812-69-6 35128-95-1
 35458-31-2 36800-78-9 53230-10-7, Mefloquine 53305-35-4 53691-91-1
 54258-41-2, 1,10-Phenanthroline-5-amine 56324-61-9 58039-06-8
 58136-76-8 62941-10-0 64741-15-7 66121-84-4 67727-65-5
 71963-77-4, Artemether 75460-28-5 76492-71-2 77373-46-7 77540-80-8
 82186-77-4, Lumefantrine 82859-76-5 88704-72-7 89143-27-1
 89159-65-9 90429-57-5 92884-66-7 94678-96-3 95233-18-4, Atovaquone
 95557-97-4 96749-32-5 100615-32-5 101733-97-5 102355-48-6
 109567-63-7 109940-24-1 112117-88-1 113697-57-7 119512-15-1
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 312915-20-1 312929-58-1 313226-23-2 313258-92-3 313364-25-9
 313372-07-5 313496-02-5 313509-24-9 313647-25-5 313953-24-1
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 413605-68-2 413609-62-8 413612-56-3 413618-26-5 413619-39-3
 413619-43-9 413620-13-0 454456-22-5 454666-48-9 462059-44-5
 462060-09-9 462061-30-9 464154-35-6 464876-56-0 485352-55-4
 490017-20-4 502992-73-6 503133-34-4 519152-83-1 551911-04-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (use of inhibitors of scavenger receptor class proteins for treatment
 of infectious diseases)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/595734

ACCESSION NUMBER: 2007:1018595 HCAPLUS Full-text
DOCUMENT NUMBER: 147:357121
TITLE: Use of inhibitors of scavenger receptor class proteins
for the treatment of infectious diseases
INVENTOR(S): Hannus, Michael; Martin, Cecilie; Mota, Maria M.;
Prudencio, Miguel; Rodrigues, Christina Dias
PATENT ASSIGNEE(S): Cenix Bioscience GmbH, Germany; Instituto De Medicina
Molecular
SOURCE: Eur. Pat. Appl., 66pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1832283	A1	20070912	EP 2006-4854	20060309
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
WO 2007101710	A1	20070913	WO 2007-EP2110	20070309
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			EP 2006-4854	A 20060309
			US 2006-780567P	P 20060309

OTHER SOURCE(S): MARPAT 147:357121

ED Entered STN: 12 Sep 2007

AB The invention relates to the use of inhibitors of scavenger receptor class proteins, in particular ScarB1 for the production of a medicament for treatment of and/or prophylaxis against infections, involving liver cells and/or hematopoietic cells, in particular malaria. Administration of ezetimibe to mice injected with Plasmodium berghei significantly reduced liver infection rate. Small interfering RNAs targeting ScarB1 reduced EEF (Exo-Erythrocytic Form) development in human hepatoma cells infected with Plasmodium berghei sporozoites.

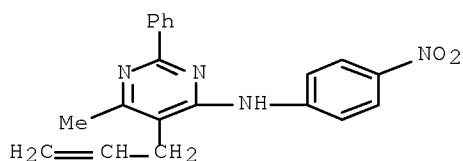
IT 330819-79-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of inhibitors of scavenger receptor class proteins for treatment of infectious diseases)

RN 330819-79-9 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)-(CA INDEX NAME)



CC 1-5 (Pharmacology)

Section cross-reference(s): 3, 63

IT 50-63-5, Chloroquine-phosphate 130-95-0D, Chinine, alkaloid 500-92-5, Proguanil 536-20-9, 2,4,6-Pyridinetricarboxylic acid 564-25-0, Doxycycline 747-36-4, Hydroxychloroquinesulfate 946-13-4 1151-31-1 1521-23-9 2697-61-2 3426-65-1 3440-28-6 4365-60-0 4381-88-8 5102-18-1 5118-80-9 5165-45-7 10102-94-0 10286-90-5 13721-16-9 18015-03-7 18265-72-0 19258-27-6 24834-68-2 27043-39-6D, Aminopyrimidine, derivs. 31436-27-8 33350-83-3 34326-53-9 34600-41-4 34812-69-6 35128-95-1 35458-31-2 36800-78-9 53230-10-7, Mefloquine 53305-35-4 53691-91-1 54258-41-2, 1,10-Phenanthrolin-5-amine 58039-06-8 58136-76-8 62941-10-0 64741-15-7 66121-84-4 67727-65-5 71963-77-4, Artemether 75460-28-5 76492-71-2 77373-46-7 82186-77-4, Lumefantrine 82859-76-5 88704-72-7 89143-27-1 89159-65-9 90429-57-5 92884-66-7 94678-96-3 95233-18-4, Atovaquone 95557-97-4 96749-32-5 100615-32-5 101733-97-5 102355-48-6 109567-63-7 109940-24-1 112117-88-1 113697-57-7 119512-15-1 123044-10-0 132214-10-9 136402-19-2 136402-20-5 149225-69-4 163222-33-1 164355-96-8 164355-99-1 169673-73-8 172986-02-6 173601-65-5 183486-59-1 200279-30-7 202190-83-8 202202-36-6 203574-13-4 220194-89-8 251917-79-0 272437-84-0 290829-51-5 293323-99-6 293765-11-4 293765-96-5 294654-81-2 294669-13-9 296265-02-6 298217-72-8 298219-68-8 300667-82-7 300670-54-6 300708-15-0 300814-84-0 300814-92-0 300835-44-3 300860-55-3 301208-20-8 301354-95-0 301357-29-9 301359-59-1 302605-23-8 302819-94-9 302902-82-5 302902-85-8 302928-33-2 302928-47-8 303018-57-7 303051-04-9 303775-26-0 303795-69-9 303796-91-0 303991-36-8 304444-21-1 304455-21-8 306315-63-9 306744-57-0 306744-62-7 306745-36-8 306763-98-4 307509-17-7 307525-79-7 311328-49-1 311777-84-1 312592-76-0 312742-68-0 312915-20-1 312929-58-1 313226-23-2 313258-92-3 313364-25-9 313372-07-5 313496-02-5 313509-24-9 313647-25-5 313953-24-1 313958-90-6 314031-82-8 314250-43-6 316130-78-6 316133-27-4 316137-06-1 321578-75-0 321673-30-7 321693-02-1 324055-11-0 324060-54-0 325990-08-7 327982-01-4 328265-49-2 329061-94-1 329180-46-3 329272-20-0 329782-40-3 330447-36-4 330448-31-2 330448-51-6 330448-63-0 330819-79-9 330834-48-5 331243-89-1 331245-08-0 331247-11-1 331417-48-2 331422-88-9 331424-77-2 331429-91-5 331435-62-2 331465-03-3 331648-90-9 331947-10-5 339208-29-6 339303-87-6 340737-11-3 344929-32-4 346719-00-4 346723-87-3 347366-97-6 351491-72-0 352446-44-7 352553-24-3 352564-51-3 356586-79-3 356586-96-4 363590-63-0 371951-42-7 374696-74-9 398131-57-2 400840-54-2 404377-92-0 404911-33-7 412947-27-4 412962-93-7 413571-56-9 413572-53-9 413581-92-7 413584-09-5 413594-56-6 413605-68-2 413609-62-8 413612-56-3 413618-26-5 413619-39-3 413619-43-9 413620-13-0 462059-44-5 462060-09-9 462061-30-9 464154-35-6 464876-56-0 490017-20-4 551911-04-7 681281-65-2 681281-67-4 681281-69-6 681281-70-9 681281-71-0 681281-73-2 681281-74-3 681281-75-4 681281-76-5 681281-77-6 681281-78-7 681281-79-8 681281-80-1 681281-82-3 681281-83-4 681281-84-5 681281-85-6

10/595734

681281-86-7 681281-88-9 681281-89-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)

(use of inhibitors of scavenger receptor class proteins for treatment
of infectious diseases)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:605598 HCAPLUS Full-text

DOCUMENT NUMBER: 148:308492

TITLE: Synthesis and biological activity of
5-aminopyrimidineterpenes

AUTHOR(S): Rykowski, Zbigniew; Cieplik, Jerzy; Paulus, Katarzyna;
Pluta, Janusz; Gubrynowicz, Olaf

CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy,
Wroclaw, 50-137, Pol.

SOURCE: Scientia Pharmaceutica (2007), 75(1), 1-8

CODEN: SCPHA4; ISSN: 0036-8709

PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 05 Jun 2007

AB The synthesis of 4-arylamine-6-methyl-2-phenyl-5-methylamine-terpene derivs.
was presented, and antibacterial activities of the prepared compds. were
investigated.

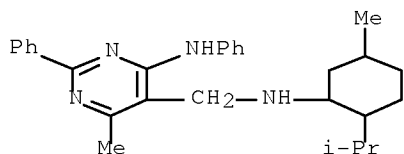
IT 1009635-27-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)

(synthesis and antibacterial activity of 5-aminopyrimidine-terpene
derivs.)

RN 1009635-27-1 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-methyl-N-[5-methyl-2-(1-methylethyl)cyclohexyl]-
2-phenyl-6-(phenylamino)- (CA INDEX NAME)



CC 30-10 (Terpenes and Terpenoids)

Section cross-reference(s): 10

IT 1009635-27-1P 1009635-28-2P 1009635-30-6P

1009635-32-8P 1009635-34-0P 1009635-35-1P

1009635-36-2P 1009635-37-3P 1009635-39-5P

1009635-42-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)

(synthesis and antibacterial activity of 5-aminopyrimidine-terpene
derivs.)

IT 21411-81-4 53567-64-9 74837-95-9 164926-92-5

164926-93-6 164927-16-6 164927-17-7

164927-19-9 186804-32-0 871984-22-4

1009635-43-1 1009635-44-2

RL: RCT (Reactant); RACT (Reactant or reagent)

10/595734

(synthesis and antibacterial activity of 5-aminopyrimidine-terpene
derivs.)

IT 1009635-29-3P 1009635-31-7P 1009635-33-9P
1009635-38-4P 1009635-40-8P 1009635-41-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and antibacterial activity of 5-aminopyrimidine-terpene
derivs.)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:426718 HCAPLUS Full-text

DOCUMENT NUMBER: 146:72361

TITLE: Two polymorphic forms of N-(4-chlorophenyl)-5-[(4-
chlorophenyl)aminomethyl]-6-methyl-2-phenylpyrimidin-4-
amine

AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Bryndal, Iwona; Lis,
Tadeusz

CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy,
Wroclaw, 50-137, Pol.

SOURCE: Acta Crystallographica, Section C: Crystal Structure
Communications (2006), C62(5), o259-o261
CODEN: ACSCEE; ISSN: 0108-2701

PUBLISHER: Blackwell Publishing Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 08 May 2006

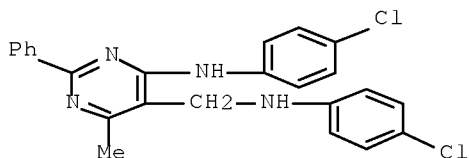
AB Two polymorphic forms of the title compound, C₂₄H₂₀Cl₂N₄, were obtained and
characterized using x-ray crystal structure anal. Colorless crystals of
polymorph (Ia) were obtained from the oily mother residue. Recrystn. of
polymorph (Ia) from an acetone-MeOH mixture resulted in pale-yellow crystals
of polymorph (Ib). Crystallog. data are given. The major feature
distinguishing the two polymorphic forms is their interaction modes, and hence
their packing arrangements. In the crystal structure of polymorph (Ia), there
are N-H...N H bonds and also aromatic π - π stacking interactions between mols.
The mols. of polymorph (Ib) are linked by N-H...Cl H bonds only.

IT 164927-02-0

RL: PRP (Properties)
(crystal structure of polymorphs of)

RN 164927-02-0 HCAPLUS

CN 5-Pyrimidinemethanamine, N-(4-chlorophenyl)-4-[(4-chlorophenyl)amino]-6-
methyl-2-phenyl- (CA INDEX NAME)



CC 75-8 (Crystallography and Liquid Crystals)
Section cross-reference(s): 22, 28

IT 164927-02-0

RL: PRP (Properties)
(crystal structure of polymorphs of)

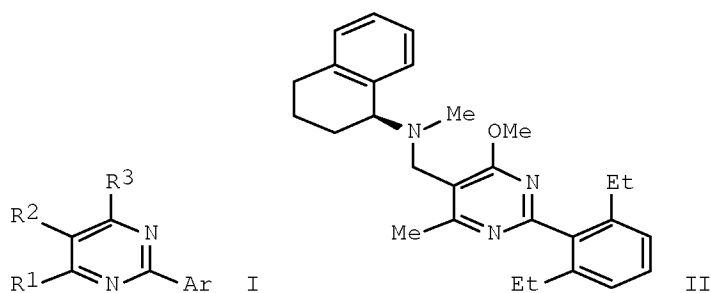
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS

10/595734

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1241187 HCAPLUS Full-text
 DOCUMENT NUMBER: 144:6804
 TITLE: Preparation of 4,5-disubstituted-2-aryl pyrimidines as
 C5a receptor ligands
 INVENTOR(S): Maynard, George D.; Ghosh, Manuka; Yuan, Jun; Currie,
 Kevin S.; Mitchell, Scott; Guo, Qin; Zhao, He
 PATENT ASSIGNEE(S): Neurogen Corporation, USA
 SOURCE: PCT Int. Appl., 216 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005110416	A2	20051124	WO 2005-US15897	20050506
WO 2005110416	A3	20060413		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005244104	A1	20051124	AU 2005-244104	20050506
CA 2563607	A1	20051124	CA 2005-2563607	20050506
US 20050277654	A1	20051215	US 2005-123755	20050506
EP 1745033	A2	20070124	EP 2005-746687	20050506
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 1976918	A	20070606	CN 2005-80021315	20050506
JP 2007536263	T	20071213	JP 2007-511645	20050506
IN 2006DN07409	A	20070824	IN 2006-DN7409	20061207
PRIORITY APPLN. INFO.:			US 2004-569222P	P 20040508
			US 2005-649973P	P 20050204
			WO 2005-US15897	W 20050506
OTHER SOURCE(S):	MARPAT 144:6804			
ED	Entered STN: 24 Nov 2005			
GI				



AB Title compds. I [Ar = mono-, di-, or tri-substituted Ph, (un)substituted naphthyl or heteroaryl; R¹ = H, (un)substituted alkyl, alkenyl, alkynyl, etc.; R² = OH, CHO, (un)substituted alkyl, etc.; R³ = (un)substituted aryl, cycloalkyl, arylalkyl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as C5a receptor ligands. Thus, e.g., II was prepared by substitution of 2,4-dichloro-5-chloromethyl-6-methylpyrimidine (preparation given) with (1S)-methyl-(1,2,3,4-tetrahydronaphthalen-1-yl)amine followed by substitution of the 4-chloro group with methanol and coupling with 2,6-diethylphenylboronic acid. Preferred compds. of the invention bind to C5a receptors with high affinity and exhibit neutral antagonist or inverse activity at C5a receptors. I exhibited IC₅₀ values of 2 μ M or less in calcium immobilization assays. The present invention also relates to pharmaceutical compns. comprising such compds., and to the use of such compds. in treating a variety of inflammatory, cardiovascular, and immune system disorders. In addition, the present invention provides labeled 4,5-disubstituted-2-arylpyrimidines, which are useful as probes for the localization of C5a receptors.

IT 869888-22-2P

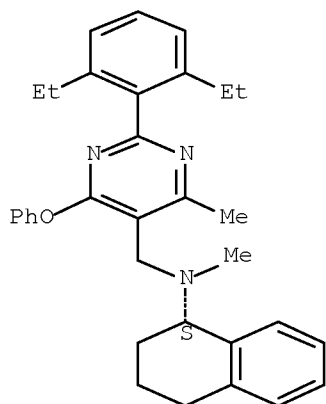
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of disubstituted arylpyrimidines as C5a receptor ligands)

RN 869888-22-2 HCAPLUS

CN 5-Pyrimidinemethanamine, 2-(2,6-diethylphenyl)-N,4-dimethyl-6-phenoxy-N-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]- (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-505
 CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63
 IT 869887-00-3P 869887-01-4P 869887-02-5P 869887-03-6P 869887-04-7P
 869887-05-8P 869887-06-9P 869887-08-1P 869887-10-5P 869887-12-7P
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 869889-82-7P 869889-83-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of disubstituted arylpyrimidines as C5a receptor ligands)

10/595734

DOCUMENT NUMBER: 143:455184
TITLE: Electrospray Mass Spectrometry for the Direct Accurate Mass Measurement of Ligands in Complex With the Retinoid X Receptor α Ligand Binding Domain
AUTHOR(S): Lenggqvist, Johan; Alvelius, Gunvor; Joernvall, Hans; Sjoevall, Jan; Perlmann, Thomas; Griffiths, William J.
CORPORATE SOURCE: Department of Medical Biochemistry and Biophysics, Karolinska Institutet, Stockholm, Swed.
SOURCE: Journal of the American Society for Mass Spectrometry (2005), 16(10), 1631-1640
CODEN: JAMSEF; ISSN: 1044-0305
PUBLISHER: Elsevier Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 23 Sep 2005

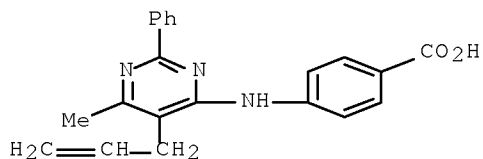
AB Accurate mass measurements are often used in the structural determination of unknown compds. of low mol. mass (i.e., below .apprx.500 Da). Recently, it has been shown that accurate mass measurements also can be made on small denatured proteins (i.e., M r, .apprx.17,000) to confirm their amino acid composition and identify the presence of isoforms. In the current report, the authors present nondenaturing electrospray (ES) mass spectrometry data on the direct accurate mass measurement of ligands in complex with the retinoid X receptor ligand binding domain (RXR LBD; M r 31,370.92). Average mass errors were below 0.198 Da, 6.3 ppm (standard deviation [SD], 0.146; n = 10) for low-affinity fatty acid agonists analyzed in complex with the RXR LBD. Protein consumption was less than 15 pmol, with fatty acid ligands present at concns. corresponding to their median effective concentration value (low micromolar, determined in transfection assays). Although determination of fatty acid mass was only sufficiently accurate to give nominal mass values, measurements were of sufficient accuracy to assign fatty acid chain length, degree of unsatn., or cyclization. Using 17β -estradiol as a control, the ability to observe specific ligand binding is shown for both high- and low-affinity RXR α agonists. In addition, binding of a novel synthetic receptor agonist XCT0315908 to the RXR α LBD is reported. This compound showed a high degree of complex formation, and the receptor-ligand complex could be mass measured with an average mass error of -0.024 Da, 0.8 ppm (SD, 0.092; n = 9). Thus, specific binding of both nanomolar and micromolar affinity ligands to a nuclear receptor LBD can be directly observed using nondenaturing ES mass spectrometry and accurate mass measurements addnl. can be made on intact complexes in the same experiment This methodol. also is applicable when ligands are present as components of mixts.

IT 300837-31-4, XCT 0315908

RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(ESI mass spectrometry for mass measurement of ligands in complex with retinoid X receptor α ligand binding domain)

RN 300837-31-4 HCAPLUS

CN Benzoic acid, 4-[[6-methyl-2-phenyl-5-(2-propenyl)-4-pyrimidinyl]amino]-(9CI) (CA INDEX NAME)



10/595734

CC 9-5 (Biochemical Methods)

IT 300837-31-4, XCT 0315908

RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)

(ESI mass spectrometry for mass measurement of ligands in complex with retinoid X receptor α ligand binding domain)

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:550005 HCAPLUS Full-text

DOCUMENT NUMBER: 144:69798

TITLE: Synthesis and antimicrobial properties of 3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines

AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf

CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, Pol.

SOURCE: Bollettino Chimico Farmaceutico (2004), 143(9), 321-328

CODEN: BCFAAI; ISSN: 0006-6648

PUBLISHER: Societa Editoriale Farmaceutica

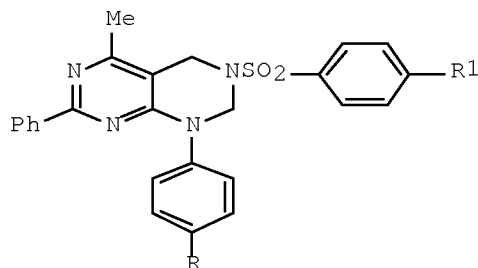
DOCUMENT TYPE: Journal

LANGUAGE: English

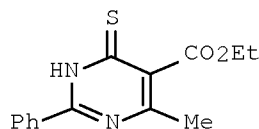
OTHER SOURCE(S): CASREACT 144:69798

ED Entered STN: 26 Jun 2005

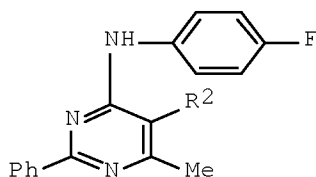
GI



I



II



III

AB Title compds. such as I (R = F, OH; R1 = Me, NHAc, NH2) were prepared starting from pyrimidinethione II via intermediates such as III (R2 = COOEt, CO2H, CH2OH, CH2Cl, CH2NH2). I showed antibacterial and antifungal activity.

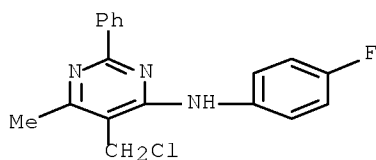
IT 871984-22-4F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate, amination of; preparation and antimicrobial properties of 3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)

10/595734

RN 871984-22-4 HCAPLUS
CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-fluorophenyl)-6-methyl-2-phenyl-
(CA INDEX NAME)



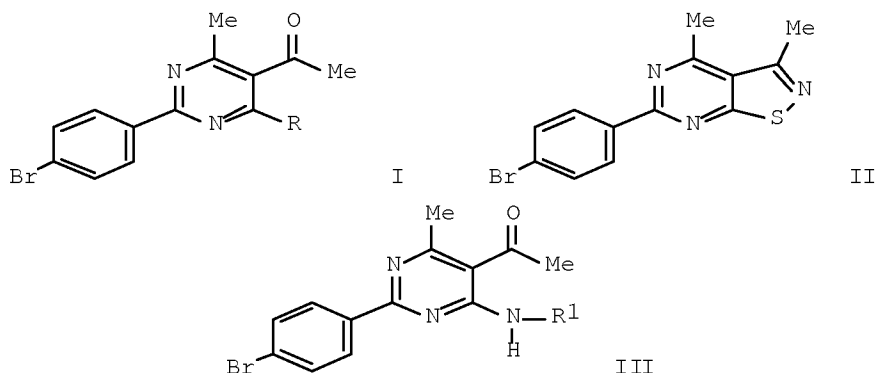
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
IT 871984-22-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate, amination of; preparation and antimicrobial properties of
3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)
IT 871984-21-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate, chlorination of; preparation and antimicrobial properties of
3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)
IT 871984-23-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate, heterocyclization of; preparation and antimicrobial
properties of 3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)
IT 871984-20-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate, reduction of; preparation and antimicrobial properties of
3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)
IT 871984-19-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(intermediate, saponification of; preparation and antimicrobial properties
of
3-sulfonyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidines)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:556975 HCAPLUS Full-text
DOCUMENT NUMBER: 142:261487
TITLE: Synthesis of thieno-, pyrazolo-, and
isothiazolopyrimidine derivatives based on
O-mercaptoacetylpyrimidine derivative
AUTHOR(S): Ahmed, G. A.; Mostafa, H. Y.; Assy, M. G.; Mansor,
Neven S.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Zagazig
University, Zagazig, Egypt
SOURCE: Egyptian Journal of Chemistry (2003), 46(1), 11-25
CODEN: EGJCA3; ISSN: 0449-2285
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English

10/595734

OTHER SOURCE(S): CASREACT 142:261487
ED Entered STN: 13 Jul 2004
GI



AB The mercaptopurine I (R = SH) was prepared and methylated to give I (R = MeS) which cyclized with hydrazine to give a pyrazolopyrimidine and condensed with benzaldehydes to give cinnamoylpyrimidines. I (R = SH) reacted with halo active methylene compds. to give thienopyrimidines and converted to a pyrimidinol on treatment with hydrogen peroxide in aqueous NaOH. Oxidation of I (R = SH) gave a disulfide and heterocyclization of I (R = SH) using NaOCl in the presence of NaOH/NH₄OH gave a the isothiazolopyrimidine II. Heterocyclization of I (R = SH) with aromatic aldehydes gives thiopyranopyrimidines and chlorination of I (R = SH) gave I (R = Cl) which was converted into a pyrrolopyrimidine and aminopyrimidines III (R₁ = Ph, 4-MeC₆H₄, 2-HO₂CC₆H₄).

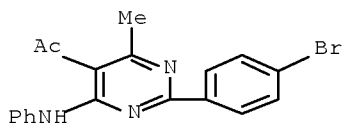
IT 845868-68-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(formation of aminopyrimidines in preparation of thieno-, pyrazolo-, and isothiazolopyrimidines from mercaptoacetylpyrimidine)

RN 845868-68-0 HCAPLUS

CN Ethanone, 1-[2-(4-bromophenyl)-4-methyl-6-(phenylamino)-5-pyrimidinyl]-
(CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 845868-68-0P 845868-69-1P 845868-70-4P

845868-71-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(formation of aminopyrimidines in preparation of thieno-, pyrazolo-, and isothiazolopyrimidines from mercaptoacetylpyrimidine)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/595734

L15 ANSWER 10 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:331897 HCAPLUS Full-text

DOCUMENT NUMBER: 140:350578

TITLE: Small organic compounds for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL

INVENTOR(S): Nieland, Thomas J. F.; Krieger, Monty; Kirchhausen, Tomas

PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA; Center for Blood Research, Inc.

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004032716	A2	20040422	WO 2003-US31918	20031008
WO 2004032716	A9	20040819		
WO 2004032716	A3	20040930		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501685	A1	20040422	CA 2003-2501685	20031008
AU 2003288925	A1	20040504	AU 2003-288925	20031008
US 20040171073	A1	20040902	US 2003-681746	20031008
EP 1562605	A2	20050817	EP 2003-781314	20031008
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JP 2006515274	T	20060525	JP 2004-543548	20031008
PRIORITY APPLN. INFO.:			US 2002-417083P	P 20021008
			WO 2003-US31918	W 20031008

ED Entered STN: 23 Apr 2004

AB Methods for regulation of lipid and cholesterol uptake are described which are based on regulation of the expression or function of the SR-BI HDL receptor. The examples demonstrate that estrogen dramatically down-regulates SR-BI under conditions of tremendous upregulation of the LDL-receptor. The examples also demonstrate the upregulation of SR-BI in rat adrenal membranes and other non-placental steroidogenic tissues from animals treated with estrogen, but not in other non-placental non-steroidogenic tissues, including lung, liver, and skin. Examples further demonstrate the uptake of fluorescently labeled HDL into the liver cells of animal, which does not occur when the animals are treated with estrogen. Examples also demonstrate the in vivo effects of SR-BI expression on HDL metabolism, in mice transiently overexpressing hepatic SR-BI following recombinant adenovirus infection. Overexpression of the SR-BI in the hepatic tissue caused a dramatic decrease in cholesterol blood levels. These results demonstrate that modulation of SR-BI levels, either directly or indirectly, can be used to modulate levels of cholesterol in the blood. Over 200 small organic compds. are identified that alter the transfer of lipids between HDL and cells mediated by the HDL receptor SR-BI, cellular and selective lipid uptake of HDL cholesteryl ether, and efflux of cellular

10/595734

cholesterol to HDL; several compds. have IC50 values in the micromolar or lower range. They specifically alter SR-BI binding, as they required the expression of active SR-BI receptors and they did not interfere with several clathrin-dependent and independent endocytic pathways, the secretory pathway, nor the actin- or tubulin cytoskeletal networks. Strikingly, inhibition of lipid transfer was accompanied by enhanced HDL binding affinity (reduced dissociation rates).

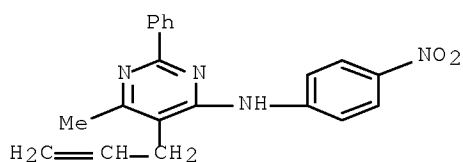
IT 330819-79-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(small organic compds. for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL)

RN 330819-79-9 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-N-(4-nitrophenyl)-2-phenyl-5-(2-propen-1-yl)- (CA INDEX NAME)



IC ICM A61B

CC 1-8 (Pharmacology)

IT 536-20-9, 2,4,6-Pyridinetricarboxylic acid 946-13-4 1151-31-1
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 311328-49-1 311777-84-1 312592-76-0 312742-68-0 312915-20-1
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 313496-02-5 313509-24-9 313536-73-1 313647-25-5 313953-24-1
 313958-90-6 314031-82-8 314250-43-6 316130-78-6 316133-27-4
 316137-06-1 321578-75-0 321673-30-7 324055-11-0 324060-54-0
 325990-08-7 327982-01-4 328265-49-2 329061-94-1 329180-46-3
 329272-20-0 329782-40-3 330447-36-4 330448-31-2 330448-51-6
 330448-63-0 330819-79-9 330834-48-5 331243-89-1

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331245-08-0	331247-11-1	331417-48-2	331422-88-9	331424-77-2
331429-91-5	331435-62-2	331465-03-3	331648-90-9	331947-10-5
339208-29-6	339303-87-6	340737-11-3	344929-32-4	346719-00-4
346723-87-3	347366-97-6	351491-72-0	352446-44-7	352553-24-3
352564-51-3	356586-79-3	356586-96-4	363590-63-0	371951-42-7
374696-74-9	398131-57-2	400840-54-2	404377-92-0	404911-33-7
412945-77-8	412947-27-4	412962-93-7	413571-56-9	413572-53-9
413581-92-7	413584-09-5	413594-56-6	413605-68-2	413609-62-8
413612-56-3	413618-26-5	413619-39-3	413619-43-9	413620-13-0
462059-44-5	462060-09-9	462061-30-9	464154-35-6	464876-56-0
490017-20-4	551911-04-7	681281-65-2	681281-67-4	681281-68-5
681281-69-6	681281-70-9	681281-71-0	681281-72-1	681281-73-2
681281-74-3	681281-75-4	681281-76-5	681281-77-6	681281-78-7
681281-79-8	681281-80-1	681281-82-3	681281-83-4	681281-84-5
681281-85-6	681281-86-7	681281-88-9	681281-89-0	681281-90-3
681281-91-4	681281-92-5	681281-93-6	681281-94-7	

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(small organic compds. for modulation of cholesterol transport via regulation of the scavenger receptor SR-BI for HDL)

L15 ANSWER 11 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:318779 HCAPLUS Full-text

DOCUMENT NUMBER: 142:74520

TITLE: The synthesis and antibacterial activity of 3-alkyl derivatives of some pyrimido[4,5-d] pyrimidines

AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf

CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.

SOURCE: Acta Poloniae Pharmaceutica (2003), 60(6), 487-492
CODEN: APPHAX; ISSN: 0001-6837

PUBLISHER: Polish Pharmaceutical Society

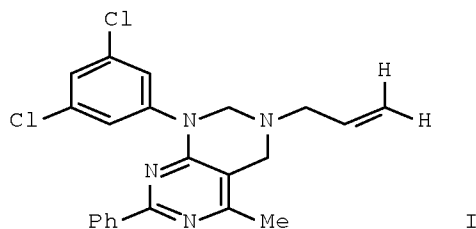
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:74520

ED Entered STN: 20 Apr 2004

GI



AB The synthesis of 4,5-diamino derivs. of pyrimidine and pyrimido[4,5-d]pyrimidines, e.g., I, is presented. The antibacterial and antifungal activity of the compds. was investigated on nine selected bacterial species, comparing the changes in the chemical structure with increase in the bioactive properties. The investigations have shown that the obtained derivs. of

pyrimido[4,5-d]pyrimidines show interesting antibacterial and antifungal activity.

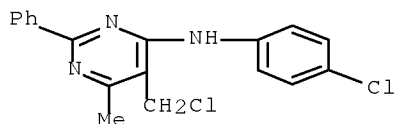
IT 164926-93-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

RN 164926-93-6 HCAPLUS

CN 4-Pyrimidinamine, 5-(chloromethyl)-N-(4-chlorophenyl)-6-methyl-2-phenyl-
(CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10, 25

IT 164926-93-6 164927-17-7 186804-33-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

IT 164926-95-8P 813436-01-0P 813436-04-3P
813436-05-4P 873427-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

IT 813436-00-9P 813436-02-1P 813436-03-2P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation, antimicrobial activity, and SAR of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

IT 107-11-9, Allylamine 109-73-9, Butylamine, reactions 164927-18-8
164927-19-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation, antimicrobial activity, and SAR of pyrimidopyrimidines via substitution of amino(chloromethyl)pyrimidines with primary amines followed by intramol. Mannich reaction with formaldehyde)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 12 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:298616 HCAPLUS Full-text

DOCUMENT NUMBER: 141:89055

TITLE: Synthesis of pyrimido[4,5-d]pyrimidinesulfon derivatives

AUTHOR(S): Cieplik, J.

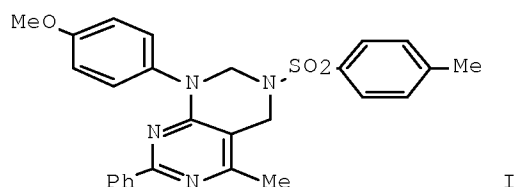
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.

SOURCE: Annales Universitatis Mariae Curie-Sklodowska, Sectio AA: Chemia (2003), 58, 112-117

10/595734

CODEN: AUMCD7; ISSN: 0137-6853

PUBLISHER: Wydawnictwo Uniwersytetu Marii Curie-Sklodowskiej
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:89055
ED Entered STN: 13 Apr 2004
GI



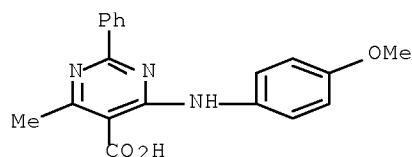
AB The paper presents synthesis of pyrimido[4,5-d]pyrimidine sulfonamido-derivs., e.g., I, using various methods to reach the final product.

IT 713525-75-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn of aminomethylmethoxyphenylaminomethylphenylpyrimidine intermediate via reactions involving lithium aluminum hydride, thionyl chloride and ammonium hydroxide resp. with methylmethoxyphenylaminophenylpyrimidinecarboxylic acid)

RN 713525-75-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(4-methoxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 713525-75-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn of aminomethylmethoxyphenylaminomethylphenylpyrimidine intermediate via reactions involving lithium aluminum hydride, thionyl chloride and ammonium hydroxide resp. with methylmethoxyphenylaminophenylpyrimidinecarboxylic acid)

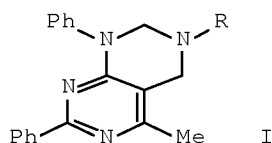
IT 186804-30-8P 186804-32-0P 515167-47-2P
515167-59-6P 713525-74-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn of aminomethylmethoxyphenylaminomethylphenylpyrimidine intermediate via reactions involving lithium aluminum hydride, thionyl chloride and ammonium hydroxide resp. with methylmethoxyphenylaminophenylpyrimidinecarboxylic acid)

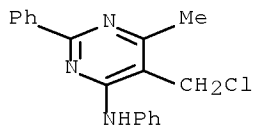
10/595734

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 13 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:298615 HCAPLUS Full-text
DOCUMENT NUMBER: 142:74519
TITLE: Synthesis of pyrimido[4,5-d]pyrimidine derivatives
AUTHOR(S): Cieplik, J.
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy,
Wroclaw, 50-137, Pol.
SOURCE: Annales Universitatis Mariae Curie-Sklodowska, Sectio
AA: Chemia (2003), 58, 105-111
CODEN: AUMCD7; ISSN: 0137-6853
PUBLISHER: Wydawnictwo Uniwersytetu Marii Curie-Sklodowskiej
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:74519
ED Entered STN: 13 Apr 2004
GI



AB The synthesis of pyrimido[4,5-d]pyrimidine derivs. I (R = H, Et, Ph), where
identical structures have been obtained by different methods, is presented.
IT 164926-92-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrimidopyrimidines via substitution of
anilino(chloromethyl)pyrimidines with amines followed by intramol.
Mannich reaction with formaldehyde)
RN 164926-92-5 HCAPLUS
CN 4-Pyrimidinamine, 5-(chloromethyl)-6-methyl-N,2-diphenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 62-53-3, Aniline, reactions 164926-92-5 812665-44-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrimidopyrimidines via substitution of
anilino(chloromethyl)pyrimidines with amines followed by intramol.
Mannich reaction with formaldehyde)
IT 812665-59-1P 812665-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

10/595734

(preparation of pyrimidopyrimidines via substitution of
anilino(chloromethyl)pyrimidines with amines followed by intramol.
Mannich reaction with formaldehyde)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 14 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:205964 HCAPLUS Full-text

DOCUMENT NUMBER: 142:74474

TITLE: Product class 12: pyrimidines

AUTHOR(S): von Angerer, S.

CORPORATE SOURCE: Germany

SOURCE: Science of Synthesis (2004), 16, 379-572

CODEN: SSCYJ9

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

ED Entered STN: 15 Mar 2004

AB A review. Methods for preparing pyrimidines are reviewed including
cyclization, ring transformation, aromatization and substituent modification.

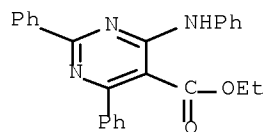
IT 105849-65-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of pyrimidines via cyclization, ring transformation,
aromatization and substituent modification)

RN 105849-65-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-diphenyl-6-(phenylamino)-, ethyl ester
(CA INDEX NAME)



CC 28-0 (Heterocyclic Compounds (More Than One Hetero Atom))

IT	83407-45-8P	83407-49-2P	83410-18-8P	83501-10-4P	83610-02-0P
	83655-13-4P	83702-18-5P	83767-80-0P	83767-97-9P	83767-98-0P
	84445-99-8P	84539-20-8P	84802-38-0P	84857-13-6P	84857-18-1P
	85386-14-7P	85730-38-7P	85815-07-2P	85929-96-0P	86454-07-1P
	86454-09-3P	86700-19-8P	86700-20-1P	86700-28-9P	86762-43-8P
	86984-19-2P	86984-23-8P	87379-51-9P	87693-90-1P	87693-93-4P
	87693-98-9P	87694-07-3P	87753-08-0P	87905-18-8P	87946-29-0P
	87946-30-3P	87946-33-6P	88045-92-5P	88070-41-1P	88123-59-5P
	88123-61-9P	88136-89-4P	88235-21-6P	89073-93-8P	89073-94-9P
	89079-63-0P	89079-64-1P	89111-83-1P	89125-21-3P	89221-28-3P
	89322-66-7P	89415-81-6P	89465-59-8P	89487-99-0P	89856-73-5P
	89943-15-7P	90210-57-4P	90619-08-2P	90832-81-8P	90832-84-1P
	90832-87-4P	91010-70-7P	91059-74-4P	91157-94-7P	91167-21-4P
	91206-56-3P	91233-73-7P	91416-96-5P	91430-00-1P	91474-19-0P
	91520-65-9P	91520-67-1P	91749-26-7P	91749-27-8P	91768-27-3P
	91806-17-6P	91806-18-7P	91806-19-8P	91955-22-5P	92255-22-6P
	92289-38-8P	92608-36-1P	92608-37-2P	92608-38-3P	92608-39-4P
	92983-83-0P	94012-59-6P	94447-84-4P	94474-42-7P	95033-62-8P
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	95222-73-4P	95458-48-3P	96237-26-2P	96237-27-3P	96237-28-4P
	96237-31-9P	96237-32-0P	96237-34-2P	96237-44-4P	96280-50-1P

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96539-88-7P	96548-89-9P	96548-90-2P	96548-91-3P	97653-57-1P
97914-74-4P	97914-83-5P	98021-95-5P	98143-11-4P	98277-53-3P
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98928-86-0P	99171-30-9P	99419-06-4P	99469-85-9P	99931-91-6P
99984-58-4P	100114-24-7P	100723-87-3P	100936-10-5P	101130-33-0P
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117482-40-3P	117553-85-2P	117646-32-9P	117663-59-9P	117663-60-2P
117663-61-3P	117832-24-3P	118506-80-2P	118506-84-6P	118644-65-8P
118644-66-9P	118966-79-3P	118987-96-5P	118987-97-6P	118987-99-8P
118988-01-5P	118988-03-7P	119813-25-1P	119813-26-2P	119813-28-4P
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122372-11-6P	122851-66-5P	123061-70-1P	124293-18-1P	

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of pyrimidines via cyclization, ring transformation,
aromatization and substituent modification)

REFERENCE COUNT: 856 THERE ARE 856 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L15 ANSWER 15 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:83424 HCAPLUS Full-text

DOCUMENT NUMBER: 141:314277

TITLE: Synthesis and antibacterial activity of
1,3-diarylpyrimido[4,5-d]pyrimidines

AUTHOR(S): Cieplik, J.; Pluta, J.; Gubrynowicz, O.

CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy,
Wroclaw, Pol.

SOURCE: Bollettino Chimico Farmaceutico (2003), 142(4),
146-150

CODEN: BCFAAI; ISSN: 0006-6648

PUBLISHER: Societa Editoriale Farmaceutica

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:314277

ED Entered STN: 02 Feb 2004

AB This paper describes the synthesis of 4,5-diaminoderivatives of pyrimidine and
pyrimido[4,5-d]pyrimidines and evaluation of their antibacterial activity on 9
selected bacterial species relating the changes in the chemical structure to
an increase in the bioactive properties.

IT 154957-59-2P

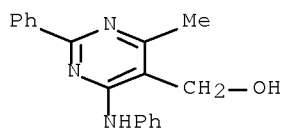
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(chlorination of; preparation and antibacterial activity-structure
relationships of diarylpyrimidopyrimidines)

RN 154957-59-2 HCAPLUS

10/595734

CN 5-Pyrimidinemethanol, 4-methyl-2-phenyl-6-(phenylamino)- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 154957-59-2P 154957-60-5P 154957-61-6P

154957-62-7P 154957-63-8P 186804-31-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(chlorination of; preparation and antibacterial activity-structure relationships of diarylpyrimidopyrimidines)

IT 769141-35-7P 769141-36-8P 769141-37-9P

769141-38-0P 769141-39-1P 769141-40-4P

RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(cyclization of; preparation and antibacterial activity-structure relationships of diarylpyrimidopyrimidines)

IT 164926-92-5P 164926-93-6P 164927-17-7P

164927-18-8P 164927-19-9P 186804-33-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antibacterial activity-structure relationships of diarylpyrimidopyrimidines)

IT 94036-95-0P 94036-96-1P 94036-97-2P

94037-00-0P 160944-65-0P 160944-66-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(reduction of; preparation and antibacterial activity-structure

relationships of

diarylpyrimidopyrimidines)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 16 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:83413 HCAPLUS Full-text

DOCUMENT NUMBER: 141:314276

TITLE: Synthesis and bactericidal properties of ethyl 4-sulfonamido pyrimidine 5-carboxylate derivatives

AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf

CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, Pol.

SOURCE: Bollettino Chimico Farmaceutico (2003), 142(5), 206-210

CODEN: BCFAAI; ISSN: 0006-6648

PUBLISHER: Societa Editoriale Farmaceutica

DOCUMENT TYPE: Journal

LANGUAGE: English

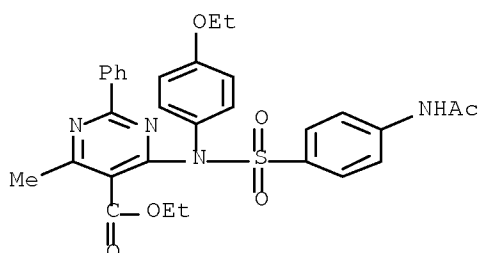
OTHER SOURCE(S): CASREACT 141:314276

ED Entered STN: 02 Feb 2004

AB The paper presents synthesis of Et 6-methyl-4-arylamino-4-sulfonamide-2-phenyl-5-carboxypyrimidine derivs. and the results of microbiol. tests of new derivs. performed on selected bacterial strains.

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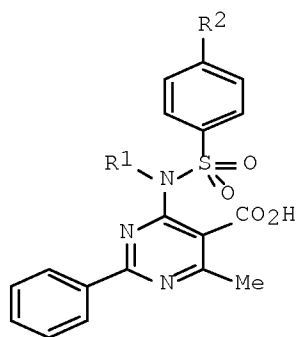
IT 769136-33-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(hydrolysis of; preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
RN 769136-33-6 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[[[4-(acetylamino)phenyl]sulfonyl](4-ethoxyphenyl)amino]-6-methyl-2-phenyl-, ethyl ester (CA INDEX NAME)



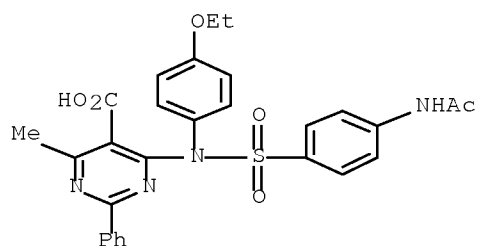
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10
IT 769136-33-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(hydrolysis of; preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
IT 769136-29-0P 769136-30-3P 769136-31-4P
769136-32-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(hydrolysis of; preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
IT 769136-28-9P 769136-38-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
IT 23155-55-7 94036-94-9 94037-16-8 94037-17-9
160944-62-7 160944-63-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
IT 769136-24-5P 769136-25-6P 769136-26-7P
769136-27-8P 769136-34-7P 769136-35-8P
769136-36-9P 769136-37-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bactericidal properties of Et sulfonamidopyrimidine carboxylate derivs.)
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/595734

DOCUMENT NUMBER: 140:253521
TITLE: Synthesis and antibacterial properties of
4-sulfonamidopyrimidine derivatives
AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy,
Wroclaw, 50-137, Pol.
SOURCE: Acta Poloniae Pharmaceutica (2003), 60(1), 75-79
CODEN: APPHAX; ISSN: 0001-6837
PUBLISHER: Polish Pharmaceutical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:253521
ED Entered STN: 03 Aug 2003
GI



AB The sulfonylamino-substituted pyrimidines I (R1 = 4-ClC6H4, 3,4-Cl2C6H3, 3,5-Cl2C6H3, 4-HOC6H4, 4-EtOC6H4; R2 = Me, H2N, MeCONH) were synthesized, and their antibacterial activity was investigated.
IT 670234-02-3P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of sulfonylamino pyrimidines)
RN 670234-02-3 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-[[[4-(acetlamino)phenyl]sulfonyl](4-ethoxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10

10/595734

IT 670234-02-3P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of sulfonylamino pyrimidines)

IT 670233-97-3P 670234-09-0P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of sulfonylamino pyrimidines)

IT 98-59-9, Tosyl chloride 121-62-0 94036-96-1 94036-97-2 94037-00-0 160944-65-0 160944-66-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antibacterial activity of sulfonylamino pyrimidines)

IT 670233-98-4P 670233-99-5P 670234-00-1P 670234-01-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antibacterial activity of sulfonylamino pyrimidines)

IT 670233-93-9P 670233-94-0P 670233-95-1P 670233-96-2P 670234-04-5P 670234-05-6P 670234-07-8P 670234-08-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antibacterial activity of sulfonylamino pyrimidines)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 18 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:821003 HCAPLUS Full-text

DOCUMENT NUMBER: 138:338078

TITLE: Synthesis and antibacterial properties of pyrimidopyrimidines

AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Gubrynowicz, Olaf
CORPORATE SOURCE: Department of Organic Chemistry, Medical Academy, Wroclaw, 50-137, Pol.

SOURCE: Scientia Pharmaceutica (2002), 70(3), 245-252
CODEN: SCPHA4; ISSN: 0036-8709

PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:338078

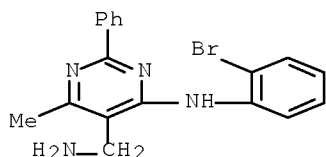
ED Entered STN: 29 Oct 2002

AB The paper presents the synthesis of newly prepared derivs. of 6-methyl-2-phenyl-4-phenylamino-5-aminomethylpyrimidine and 5-methyl-1,7-diphenyl-1,2,3,4-tetrahydropyrimido[4,5-d]pyrimidine and also the results of microbiol. studies. Pyrimidopyrimidine derivs. prepared show a certain analogy in their chemical structure to quinolone structures and also- as might have been expected - they inhibit to a large extent the growth of bacterial strains, in some cases better than some antibiotics and sulfonamides used at present.

IT 515167-37-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antibacterial properties of pyrimidopyrimidines)

RN 515167-37-0 HCAPLUS

CN 5-Pyrimidinemethanamine, 4-[(2-bromophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
 IT 515167-37-0P 515167-39-2P 515167-41-6P
 515167-43-8P 515167-45-0P 515167-47-2P
 515167-49-4P 515167-51-8P 515167-53-0P 515167-55-2P
 515167-57-4P 515167-59-6P 515167-61-0P 515167-63-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation)
 (synthesis and antibacterial properties of pyrimidopyrimidines)
 IT 515167-31-4P 515167-33-6P 515167-35-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (synthesis and antibacterial properties of pyrimidopyrimidines)
 REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 19 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:402450 HCAPLUS Full-text

DOCUMENT NUMBER: 135:180734

TITLE: Functionalization and heteroannulation of ethyl
 2-(4'-chlorophenyl)-4-mercapto-6-methylpyrimidine-5-
 carboxylate

AUTHOR(S): Saad, H. A.; Moustafa, H. Y.; Assy, M. G.; Sayed, M.
 A.

CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Zagazig
 University, Zagazig, Egypt

SOURCE: Bulletin of the Korean Chemical Society (2001), 22(3),
 311-314

CODEN: BKCSDE; ISSN: 0253-2964

PUBLISHER: Korean Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:180734

ED Entered STN: 05 Jun 2001

AB The title compound (I) was subjected to substitution reactions on the mercapto
 group to give derivs. that were cyclized to thienopyrimidines. I was also
 converted to the 4-chloro analog and oxidized to the hydroxy analog. The
 chloro analog was cyclized with urea, guanidine, thiourea, and azide to give
 pyrimidopyrimidines, tetrazolopyrimidines, and pyrazolopyrimidines. It was
 also substituted by PhNH₂ or CH₂(CN)₂.

IT 354811-03-3P

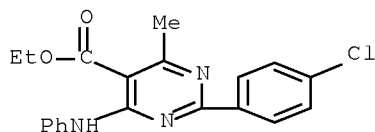
RL: SPN (Synthetic preparation); PREP (Preparation)

(functionalization and heteroannulation of Et 2-(4'-chlorophenyl)-4-
 mercapto-6-methylpyrimidine-5-carboxylate)

RN 354811-03-3 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-(4-chlorophenyl)-4-methyl-6-(phenylamino)-,
 ethyl ester (CA INDEX NAME)

10/595734



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 IT 354810-66-5P 354810-71-2P 354810-72-3P 354810-73-4P 354810-74-5P
 354810-77-8P 354810-79-0P 354810-82-5P 354810-85-8P 354810-89-2P
 354810-91-6P 354810-94-9P 354810-97-2P 354811-00-0P
 354811-03-3P 354811-05-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (functionalization and heteroannulation of Et 2-(4'-chlorophenyl)-4-
 mercapto-6-methylpyrimidine-5-carboxylate)
 REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

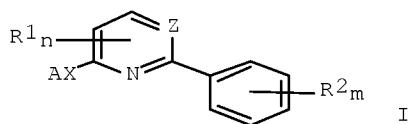
L15 ANSWER 20 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1998:816106 HCAPLUS Full-text
 DOCUMENT NUMBER: 130:62402
 TITLE: Preparation of herbicidal 2,6-disubstituted pyridines
 and 2,4-disubstituted pyrimidines
 INVENTOR(S): Kleemann, Axel; Baltruschat, Helmut Siegfried; Hulsen,
 Thekla; Maier, Thomas; Scheiblich, Stefan
 PATENT ASSIGNEE(S): American Cyanamid Company, USA
 SOURCE: U.S., 21 pp., Cont.-in-part of U.S. Ser. No. 454,044,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849758	A	19981215	US 1996-693422	19960807
US 5824624	A	19981020	US 1996-761479	19961206
CA 2212310	A1	19980207	CA 1997-2212310	19970805
EP 823431	A1	19980211	EP 1997-305994	19970806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9733198	A	19980212	AU 1997-33198	19970806
AU 730571	B2	20010308		
HU 9701361	A2	19980629	HU 1997-1361	19970806
HU 9701361	A3	19990301		
BR 9704282	A	19981229	BR 1997-4282	19970806
ZA 9707009	A	19990208	ZA 1997-7009	19970806
IN 1997CA01454	A	20050311	IN 1997-CA1454	19970806
CN 1175578	A	19980311	CN 1997-117398	19970807
CN 1117748	B	20030813		
JP 10114745	A	19980506	JP 1997-224435	19970807
IL 121492	A	20020210	IL 1997-121492	19970807
US 6008161	A	19991228	US 1998-115275	19980714
IN 183909	A1	20000513	IN 1998-CA1791	19981009
IN 183910	A1	20000513	IN 1998-CA1792	19981009
US 6066597	A	20000523	US 1999-361906	19990727
PRIORITY APPLN. INFO.:			US 1995-454044	B2 19950530

10/595734

EP 1995-101057	A 19950126
IL 1996-116855	A0 19960122
IN 1996-CA130	A1 19960124
US 1996-693422	A 19960807
US 1996-761479	A3 19961206
US 1998-115275	A3 19980714

OTHER SOURCE(S): MARPAT 130:62402
 ED Entered STN: 01 Jan 1999
 GI



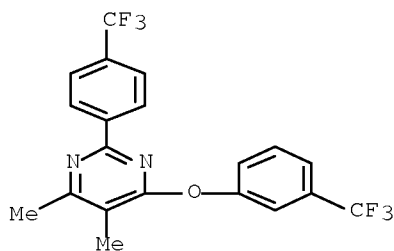
AB The title compds. I [Z = CH or N; A = substituted aryl or (un)substituted pyridyl or pyrazolyl; n = 0, 1 or 2; R1 = H or (un)substituted alkyl, alkoxy, alkylthio or dialkylamino; m = 0, 1-5; R2 = H, halo, (un)substituted alkyl, haloalkyl, haloalkoxy, alkoxy, or alkylthio, or nitro, cyano or halosulfonyl; X = O or S] are prepared as herbicides.

IT 180607-37-8P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation as herbicide)

RN 180607-37-8 HCAPLUS

CN Pyrimidine, 4,5-dimethyl-6-[3-(trifluoromethyl)phenoxy]-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IC ICM A01N043-54
 ICS C07D239-02

INCL 514269000

CC 5-3 (Agrochemical Bioregulators)

Section cross-reference(s): 28

IT	180606-10-4P	180606-11-5P	180606-12-6P	180606-13-7P	180606-22-8P
	180606-23-9P	180606-24-0P	180606-25-1P	180606-26-2P	180606-27-3P
	180606-28-4P	180606-29-5P	180606-30-8P	180606-31-9P	180606-32-0P
	180606-33-1P	180606-34-2P	180606-35-3P	180607-17-4P	180607-18-5P
	180607-19-6P	180607-20-9P	180607-21-0P	180607-22-1P	180607-23-2P
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202995-18-4P	202995-20-8P	202995-21-9P	202995-22-0P	202995-23-1P
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217489-64-0P	217490-22-7P	217490-68-1P	217490-69-2P	217630-82-5P
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217631-04-4P	217631-05-5P	217631-06-6P	217631-07-7P	217631-08-8P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as herbicide)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 21 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:154790 HCAPLUS Full-text

DOCUMENT NUMBER: 128:167441

TITLE: Preparation of herbicidal 2,6-disubstituted pyridines and 2,4-disubstituted pyrimidines

INVENTOR(S): Kleemann, Axel; Baltruschat, Helmut Siegfried; Maier, Thomas; Scheiblich, Stefan

PATENT ASSIGNEE(S): American Cyanamid Co., USA

SOURCE: Eur. Pat. Appl., 45 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

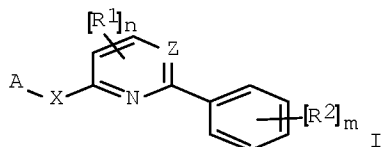
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 823431	A1	19980211	EP 1997-305994	19970806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 5849758	A	19981215	US 1996-693422	19960807
PRIORITY APPLN. INFO.:			US 1996-693422	A 19960807
			US 1995-454044	B2 19950530
OTHER SOURCE(S): MARPAT 128:167441				

ED Entered STN: 14 Mar 1998
GI



AB The title compds. [I; A = (un)substituted aryl, 5-6 membered nitrogen-containing heteroaryl, difluorobenzodioxolyl; m = 0-5; n = 0-2; R1 = H, halo, (un)substituted alkyl, etc.; R2 = H, halo, (un)substituted alkyl, etc.; X = O, S; Z = N, CH; with the proviso that if A = 1-methyl-3-trifluoromethyl-pyrazol-5-yl, n = 0, X = O and Z = CH, then R2m does not represent H, 3-CF3, 2,4-Cl2 or 2,4-Me2], useful as herbicides, were prepared Thus, reaction of 2-bromo-6-phenylpyridine with 1-methyl-3-trifluoromethyl-5-hydroxypyrazole in the presence of K2CO3 in DMF afforded 52% I [A = 1-methyl-3-trifluoromethylpyrazol-5-yl; X = O; Z = CH; R1 = R2 = H]. Compound I [A = 1-methyl-3-trifluoromethylpyrazol-5-yl; X = O; Z = CH; R1 = H; R2 = 3-CF3] showed complete control against Beta vulgaris and Zea mays in preemergence application at 100 g/ha.

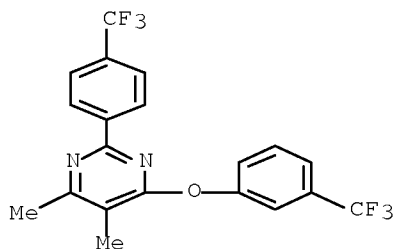
IT 180607-37-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of herbicidal 2,6-disubstituted pyridines and 2,4-

disubstituted
pyrimidines)

RN 180607-37-8 HCAPLUS

CN Pyrimidine, 4,5-dimethyl-6-[3-(trifluoromethyl)phenoxy]-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IC ICM C07D401-12

ICS C07D213-643; C07D403-14; A01N043-40; A01N043-54; A01N043-56

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 5

IT	180606-10-4P	180606-11-5P	180606-12-6P	180606-13-7P	180606-21-7P
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180606-32-0P	180606-33-1P	180606-34-2P	180606-35-3P	180607-16-3P
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202994-73-8P	202994-74-9P	202994-75-0P	202994-76-1P	202994-77-2P
202994-78-3P	202994-79-4P	202994-80-7P	202994-81-8P	202994-82-9P
202994-83-0P	202994-84-1P	202994-85-2P	202994-86-3P	202994-88-5P
202994-90-9P	202994-92-1P	202994-94-3P	202994-96-5P	202994-98-7P
202995-00-4P	202995-01-5P	202995-02-6P	202995-03-7P	202995-04-8P
202995-05-9P	202995-06-0P	202995-07-1P	202995-08-2P	202995-09-3P
202995-10-6P	202995-11-7P	202995-12-8P	202995-13-9P	202995-14-0P
202995-15-1P	202995-16-2P	202995-17-3P	202995-18-4P	202995-19-5P
202995-20-8P	202995-21-9P	202995-22-0P	202995-23-1P	202995-24-2P
202995-25-3P	202995-26-4P	202995-27-5P	202995-28-6P	202995-29-7P
202995-30-0P	202995-31-1P	202995-32-2P	202995-33-3P	202995-34-4P
202995-35-5P	202995-36-6P	202995-37-7P	202995-38-8P	202995-39-9P
202995-40-2P	202995-41-3P	202995-42-4P	202995-43-5P	202995-44-6P
202995-45-7P	202995-46-8P	202995-47-9P	202995-48-0P	202995-49-1P
202995-50-4P	202995-51-5P	202995-52-6P	202995-53-7P	

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of herbicidal 2,6-disubstituted pyridines and 2,4-disubstituted pyrimidines)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 22 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:687557 HCAPLUS Full-text

DOCUMENT NUMBER: 128:13239

TITLE: Synthesis and biological investigations of pyrimidine derivatives

AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Meler, Grazyna

CORPORATE SOURCE: Department Organic Chemistry, Medical Academy Wroclaw, Wroclaw, 50137, Pol.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1997), 330(8), 237-241

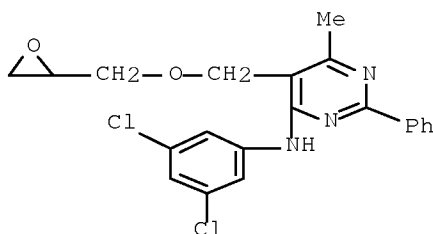
CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH

DOCUMENT TYPE: Journal

10/595734

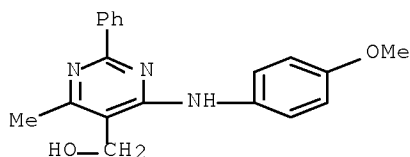
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:13239
ED Entered STN: 30 Oct 1997
AB Various 5-alkoxymethyl and 5-[(aminoalkoxy)methyl] derivs. of pyrimidine were prepared When tested for antibacterial activity, some of the compds. exhibited promising effects.
IT 198978-67-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation and antibacterial activity of pyrimidines)
RN 198978-67-5 HCAPLUS
CN 4-Pyrimidinamine, N-(3,5-dichlorophenyl)-6-methyl-5-[(oxiranylmethoxy)methyl]-2-phenyl- (9CI) (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10
IT 198978-67-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation and antibacterial activity of pyrimidines)
IT 186804-25-1P 186804-44-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and antibacterial activity of pyrimidines)
IT 75-31-0, Isopropylamine, reactions 106-47-8, 4-Chloroaniline, reactions 106-49-0, 4-Methylaniline, reactions 106-89-8, reactions 111-42-2, reactions 141-43-5, reactions 151021-12-4 154957-61-6 154957-62-7 154957-63-8 154957-64-9
RL: RCT (Reactant); RACT (Reactant or reagent) (preparation and antibacterial activity of pyrimidines)
IT 186804-11-5P 186804-12-6P 186804-13-7P 186804-14-8P 198978-65-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and antibacterial activity of pyrimidines)
IT 186804-19-3P 186804-20-6P 186804-21-7P 186804-22-8P 186804-23-9P 186804-24-0P 186804-46-6P 186804-48-8P 198978-69-7P 198978-71-1P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antibacterial activity of pyrimidines)

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ACCESSION NUMBER: 1997:101958 HCAPLUS Full-text
DOCUMENT NUMBER: 126:157468
TITLE: Synthesis and biological activity of some pyrimidine derivatives
AUTHOR(S): Pluta, J.; Flendrich, M.; Cieplik, J.
CORPORATE SOURCE: Dep. Applied Pharmacy, School Medicine, Wroclaw, 50-137, Pol.
SOURCE: Bollettino Chimico Farmaceutico (1996), 135(8), 459-464
CODEN: BCFAAI; ISSN: 0006-6648
PUBLISHER: Societa Editoriale Farmaceutica
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 13 Feb 1997
AB Some new pyrimidine derivs. were prepared and the influence of their structure (particularly, the significance of substitution at C-5) on their antibacterial properties was investigated.
IT 186804-30-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation and bactericidal activity of pyrimidine derivs.)
RN 186804-30-8 HCAPLUS
CN 5-Pyrimidinemethanol, 4-[(4-methoxyphenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 10
IT 186804-30-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation and bactericidal activity of pyrimidine derivs.)
IT 164926-96-9P 186804-26-2P 186804-27-3P 186804-28-4P
186804-29-5P 186804-31-9P 186804-32-0P
186804-33-1P 186804-34-2P 186804-35-3P
186804-36-4P 186804-37-5P 186804-38-6P
186804-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and bactericidal activity of pyrimidine derivs.)
IT 617-89-0, 2-(Aminomethyl)furan 94037-04-4 160944-65-0
164926-93-6 178380-71-7
RL: RCT (Reactant); RACT (Reactant or reagent) (preparation and bactericidal activity of pyrimidine derivs.)
IT 186804-11-5P 186804-12-6P 186804-13-7P
186804-14-8P 186804-15-9P 186804-16-0P
186804-17-1P 186804-18-2P 186804-19-3P
186804-20-6P 186804-21-7P 186804-22-8P

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186804-23-9P 186804-24-0P 186804-25-1P
186804-44-4P 186804-46-6P 186804-48-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and bactericidal activity of pyrimidine derivs.)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 24 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:544043 HCAPLUS Full-text

DOCUMENT NUMBER: 125:195679

TITLE: Herbicidal 2,6-disubstituted pyridines and
2,4-disubstituted pyrimidines

INVENTOR(S): Kleemann, Axel; Baltruschat, Helmut S.; Huelsen,
Thekla; Maier, Thomas; Scheiblich, Stefan

PATENT ASSIGNEE(S): American Cyanamid Company, USA; BASF
Aktiengesellschaft

SOURCE: Eur. Pat. Appl., 38 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 723960	A1	19960731	EP 1996-300454	19960123
EP 723960	B1	20030402		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CZ 290330	B6	20020717	CZ 1996-175	19960119
IL 116855	A	20010111	IL 1996-116855	19960122
ZA 9600529	A	19970723	ZA 1996-529	19960123
AT 236124	T	20030415	AT 1996-300454	19960123
CA 2167982	A1	19960727	CA 1996-2167982	19960124
AU 9642164	A	19960801	AU 1996-42164	19960124
AU 710816	B2	19990930		
IN 182759	A1	19990710	IN 1996-CA139	19960124
IN 1996CA00127	A	20050304	IN 1996-CA127	19960124
JP 08277268	A	19961022	JP 1996-30101	19960125
JP 4049405	B2	20080220		
HU 9600161	A2	19970228	HU 1996-161	19960125
HU 9600161	A3	19970828		
HU 221864	B1	20030228		
BR 9600222	A	19980106	BR 1996-222	19960125
RU 2134261	C1	19990810	RU 1996-101815	19960125
SK 284993	B6	20060406	SK 1996-109	19960125
CN 1143078	A	19970219	CN 1996-102547	19960126
CN 1135226	B	20040121		
US 5824624	A	19981020	US 1996-761479	19961206
CZ 290340	B6	20020717	CZ 2001-1783	20010522

PRIORITY APPLN. INFO.:

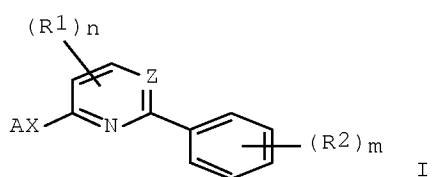
EP 1995-101057	A	19950126
US 1995-454044	B1	19950530
CZ 1996-175	A3	19960119

OTHER SOURCE(S): MARPAT 125:195679

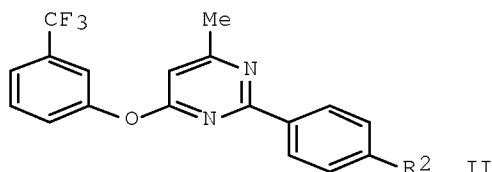
ED Entered STN: 12 Sep 1996

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II

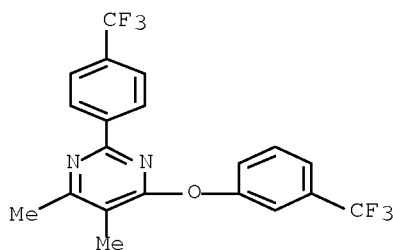
AB New pyridine and pyrimidine derivs. are disclosed, specifically I [A = (un)substituted aryl or (un)substituted 5- or 6-membered N-containing heteroarom. group or difluorobenzodioxolyl; m = 0-5; n = 0-2; R1 (or each R1) = H, halo, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, (di)alkoxyalkyl, alkoxyalkoxy, alkylthio, (di)(alkyl)amino, alkoxyamino, formamidino; R2 (or each R2) = H, halo, (un)substituted alk(en/yn)yl, alkoxy, alkylthio, alkylsulfonyl, alkylsulfinyl, NO₂, cyano, haloalkyl, haloalkoxy, haloalkylthio; X = O or S; Z = N or CH; with proviso that if A = 1-methyl-3-trifluoromethylpyrazol-5-yl, n = 0, X = O and Z = CH, then (R₂)_m ≠ H or 3-CF₃ or 2,4-di-Cl or 2,4-di-Me]. I can be prepared by conventional methods, and are particularly useful as herbicides. Over 200 synthetic examples, including I and their intermediates, are given. For instance, etherification of 2-(4-fluorophenyl)-4-chloro-6-methylpyridine (preparation given) with 3-HOC₆H₄CF₃ using K₂CO₃ in refluxing DMF gave 56.4% title compound II [R₂ = F]. The similarly prepared compound II [R₂ = CF₃] at 300 g/ha preemergence gave complete (9/9) or nearly complete (8/9) control of 10 weeds including Echinochloa crus-galli and Setaria viridis.

IT 180607-37-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of disubstituted pyridines and pyrimidines as herbicides)

RN 180607-37-8 HCAPLUS

CN Pyrimidine, 4,5-dimethyl-6-[3-(trifluoromethyl)phenoxy]-2-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



IC ICM C07D213-00

ICS C07D401-12; C07D213-66; C07D213-64; C07D213-68; C07D239-34; C07D403-12; A01N043-40; A01N043-54; C07D403-14; C07D405-12

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 5

IT	180606-10-4P	180606-11-5P	180606-12-6P	180606-13-7P	180606-21-7P
	180606-22-8P	180606-23-9P	180606-24-0P	180606-25-1P	180606-26-2P
	180606-27-3P	180606-28-4P	180606-29-5P	180606-30-8P	180606-31-9P
	180606-32-0P	180606-33-1P	180606-34-2P	180606-35-3P	180607-16-3P

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180607-17-4P	180607-18-5P	180607-19-6P	180607-20-9P	180607-21-0P
180607-22-1P	180607-23-2P	180607-24-3P	180607-25-4P	180607-26-5P
180607-27-6P	180607-28-7P	180607-29-8P	180607-30-1P	180607-31-2P
180607-32-3P	180607-33-4P	180607-34-5P	180607-35-6P	180607-36-7P
180607-37-8P	180607-39-0P	180607-41-4P	180607-42-5P	
180607-43-6P	180607-44-7P	180607-45-8P	180607-47-0P	180607-48-1P
180607-49-2P	180607-50-5P	180607-51-6P	180607-52-7P	180607-53-8P
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180607-59-4P	180607-60-7P	180607-61-8P	180607-62-9P	
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180608-32-6P	180608-33-7P	180608-34-8P	180608-35-9P	

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of disubstituted pyridines and pyrimidines as herbicides)

L15 ANSWER 25 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:309834 HCAPLUS Full-text

DOCUMENT NUMBER: 125:58437

TITLE: Some reactions with ethyl 4-(mercapto/chloro)-6-methyl-2-phenylpyrimidine-5-carboxylate

AUTHOR(S): Assy, M. G.; El-Bahaie, S.; Ibrahim, M. R.; Ibrahim, Y. A.

CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(6), 598-601

CODEN: IJSBDB; ISSN: 0376-4699

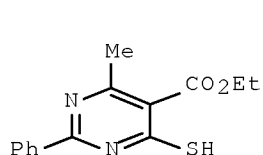
PUBLISHER: Publications & Information Directorate, CSIR

DOCUMENT TYPE: Journal

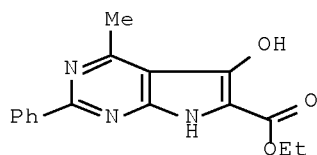
LANGUAGE: English

ED Entered STN: 25 May 1996

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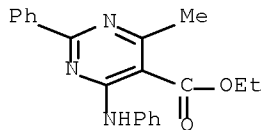


II

AB Michael adducts, transesters, and the 4-chloro derivative have been synthesized from 4-mercaptopyrimidine I. The 4-chloro derivative underwent further reaction; for example, reaction with Et glycinate gave pyrrolopyrimidinecarboxylate II.

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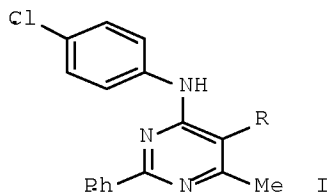
IT 94037-15-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(addition and substitution reactions of mercapto/chloro-
pyrimidinecarboxylate)
RN 94037-15-7 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 4-methyl-2-phenyl-6-(phenylamino)-, ethyl
ester (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 94037-15-7P 128072-68-4P 178380-67-1P 178380-68-2P
178380-69-3P 178380-70-6P 178380-71-7P 178380-72-8P
178380-73-9P 178380-74-0P 178380-75-1P 178380-76-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(addition and substitution reactions of mercapto/chloro-
pyrimidinecarboxylate)

L15 ANSWER 26 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:682845 HCAPLUS Full-text
DOCUMENT NUMBER: 123:83387
TITLE: Method of preparing 2-phenyl-4-(4'-chlorophenylamino)-
6-methyl-5-(hydroxymethyl)pyrimidine
INVENTOR(S): Machon, Zdzislaw; Cieplik, Jerzy; Wieczorek, Zbigniew;
Zimecki, Michal
PATENT ASSIGNEE(S): Akademia Medyczna, Pol.
SOURCE: Pol., 3 pp.
CODEN: POXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
PL 164076	B1	19940630	PL 1990-284351	19900315
PRIORITY APPLN. INFO.:			PL 1990-284351	19900315
OTHER SOURCE(S):	CASREACT 123:83387			
ED Entered STN:	19 Jul 1995			
GI				

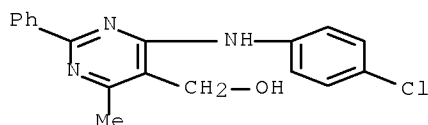


AB Title compound I (R = CH₂OH) (II) is prepared by reduction of I (R = CO₂Et) with LiAlH₄ in anhydrous THF. An example gave 82.2% yield of II. Strong immunostimulant activity was demonstrated by II both in vitro and in vivo, e.g., using the Jerne test and GvH tests (no addnl. data).

IT 154957-61-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phenyl(chlorophenyl)aminomethyl(hydroxymethyl)pyrimidine as immunostimulant)

RN 154957-61-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA INDEX NAME)



IC ICM C07D239-42

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

IT 154957-61-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of phenyl(chlorophenyl)aminomethyl(hydroxymethyl)pyrimidine as immunostimulant)

IT 94037-17-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reduction; preparation of
 phenyl(chlorophenyl)aminomethyl(hydroxymethyl)pyrimidine as immunostimulant)

L15 ANSWER 27 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:484203 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 123:55795

TITLE: Synthesis and immunomodulatory activity of
 6-methyl-2-phenyl-5-substituted pyrimidines

AUTHOR(S): Cieplik, Jerzy; Machon, Zdzislaw; Zimecki, Michal;
 Wieczorek, Zbigniew

CORPORATE SOURCE: Dep. Org. Chemistry, Medical Academy, Wroclaw, 50-137,
 Pol.

SOURCE: Farmaco (1995), 50(2), 131-6
 CODEN: FRMCE8

PUBLISHER: Societa Chimica Italiana

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 Apr 1995

AB Various new 4-arylamino-6-methyl-2-phenyl-5-methylamino- and 5-alkoxymethylpyrimidines were synthesized in two chemical series from 4-

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arylamino-6-methyl-2-phenyl-5-hydroxymethylpyrimidines. Some of these products display immunomodulatory activities comparable to that of levamisole.

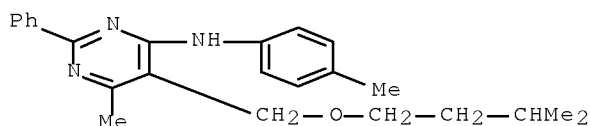
IT 164927-13-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and immunomodulatory activity of substituted pyrimidines)

RN 164927-13-3 HCAPLUS

CN 4-Pyrimidinamine, 6-methyl-5-[(3-methylbutoxy)methyl]-N-(4-methylphenyl)-2-phenyl- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 164927-13-3P 164927-14-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and immunomodulatory activity of substituted pyrimidines)

IT 154957-59-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and immunomodulatory activity of substituted pyrimidines)

IT 164926-92-5P 164926-93-6P 164927-16-6P

164927-17-7P 164927-18-8P 164927-19-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and immunomodulatory activity of substituted pyrimidines)

IT 164926-94-7P 164926-95-8P 164926-96-9P

164926-97-0P 164926-98-1P 164926-99-2P

164927-00-8P 164927-01-9P 164927-02-0P

164927-03-1P 164927-04-2P 164927-05-3P

164927-06-4P 164927-07-5P 164927-08-6P

164927-09-7P 164927-10-0P 164927-11-1P

164927-12-2P 164927-15-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis and immunomodulatory activity of substituted pyrimidines)

L15 ANSWER 28 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:217281 HCAPLUS Full-text

DOCUMENT NUMBER: 122:128377

TITLE: Antibacterial properties of some 5-pyrimidinecarboxylic acid derivatives

AUTHOR(S): Cieplik, Jerzy; Pluta, Janusz; Flendrich, Mariola

CORPORATE SOURCE: Inst. Org. Chem., Sch. Med., Wroclaw, 50137, Pol.

SOURCE: Acta Poloniae Pharmaceutica (1994), 51(1), 59-62

CODEN: APPHAX; ISSN: 0001-6837

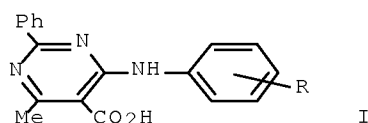
PUBLISHER: Polish Pharmaceutical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 30 Nov 1994

GI

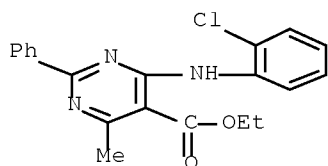


AB Antibacterial screening data against *Staphylococcus aureus*, *Proteus vulgaris*, *Pseudomonas aeruginosa* and *Escherichia coli* were reported for I [R = 2-Cl, 4-Cl, 3,4-Cl₂, 3,5-Cl₂, 4-OH, 4-Me, and 4-Cl, 3-F (II)] as well for their Et esters. Highest activity (MIC 6 µg/mL with all strains) was noted with II. I (R = 4-OH) and its Et ester were prepared by known methods.

IT 94036-93-8
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (antibacterial properties of some 5-pyrimidinecarboxylic acid derivs.)

RN 94036-93-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(2-chlorophenyl)amino]-6-methyl-2-phenyl-, ethyl ester (CA INDEX NAME)



CC 10-5 (Microbial, Algal, and Fungal Biochemistry)

IT 94036-93-8 94036-94-9 94036-97-2
 94036-99-4 94037-00-0 94037-01-1
 94037-17-9 154957-57-0 154957-58-1
 160944-62-7 160944-63-8 160944-64-9
 160944-65-0 160944-66-1
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (antibacterial properties of some 5-pyrimidinecarboxylic acid derivs.)

L15 ANSWER 29 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:75794 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 122:55996

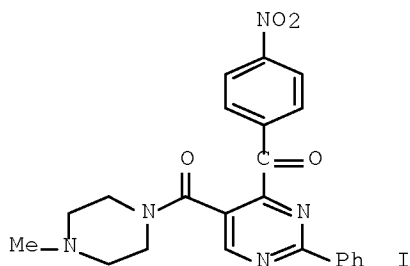
TITLE: Studies of cerebral protective agents. VI. Synthesis of novel 4-(4-nitrobenzoyl)pyrimidine and related compounds with antianoxic activity

AUTHOR(S): Ohkubo, Mitsuru; Kuno, Atsushi; Sakai, Hiroyoshi; Sugiyama, Yoshie; Takasugi, Hisashi

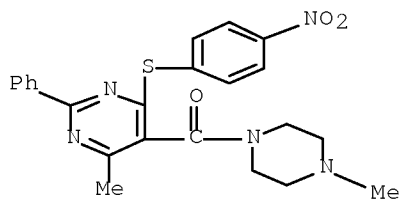
CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharmaceutical Co., Ltd., Osaka, 532, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(6), 1279-85
 CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal
 LANGUAGE: English
 ED Entered STN: 08 Nov 1994
 GI



- AB Novel pyrimidine derivs., possessing linkages between the aryl group and the pyrimidine nucleus an the C-4 position, were prepared and tested for antianoxic activity in mice. Among them, 5-(4-methylpiperazin-1-ylcarbonyl)-4-(4-nitrobenzoyl)-2-phenylpyrimidine (FR 76659) (I) possessed significant antianoxic activity (10-100 mg/kg, i.p.) with low acute toxicity (LD50 > 1000 mg/kg, i.p.). Structure-activity relationship in regard to antianoxic activity of this series of compds. were examined
- IT 116904-26-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of antianoxic cerebral protective agent
 [(pyrimidinyl)carbonyl]piperazine)
- RN 116904-26-8 HCAPLUS
- CN Piperazine, 1-methyl-4-[[6-methyl-4-[(4-nitrophenyl)thio]-2-phenyl-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)



- CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
- IT 103294-21-9DP, analogs and derivs. 116904-25-7P 116904-26-8P
 116904-27-9P 116904-28-0P 116904-30-4P 116904-35-9P
 116904-53-1P 116904-57-5P 116904-65-5P 116904-66-6P
 116904-67-7P 116904-68-8P 116904-69-9P 116924-79-9P 116924-80-2P
 159970-99-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

10/595734

(preparation of antianoxic cerebral protective agent
[(pyrimidinyl)carbonyl]piperazine)

IT 62088-12-4P 76842-84-7P 116904-36-0P 116904-37-1P 116904-38-2P
116904-39-3P 116904-40-6P 116904-41-7P 116904-43-9P
116904-44-0P 116904-45-1P 116904-47-3P 116904-48-4P
116904-51-9P 116904-52-0P 116904-54-2P 116904-55-3P
116904-61-1P 116904-62-2P 116904-63-3P 116904-64-4P 116904-71-3P
159971-00-3P 159971-01-4P 159971-02-5P 159971-04-7P 159971-05-8P
159971-06-9P 159971-07-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of antianoxic cerebral protective agent
[(pyrimidinyl)carbonyl]piperazine)

L15 ANSWER 30 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:298579 HCAPLUS Full-text

DOCUMENT NUMBER: 120:298579

TITLE: Synthesis and biological properties of
5-(hydroxymethyl)pyrimidines

AUTHOR(S): Cieplik, Jerzy; Machon, Zdzislaw; Zimecki, Michal;
Wieczorek, Zbigniew

CORPORATE SOURCE: Org. Chem. Dep., Med. Acad., Wroclaw, 50-137, Pol.
SOURCE: Archivum Immunologiae et Therapiae Experimentalis
(1993), 41(1), 11-15
CODEN: AITEAT; ISSN: 0004-069X

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 11 Jun 1994

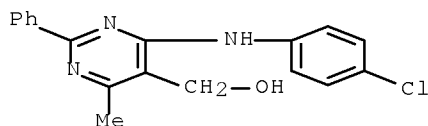
AB Reduction of 4-(arylamino)-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid and
its Et ester as well as 5,7-dihydrofuro[3,4-d]pyrimidines gave 4-(arylamino)-
6-methyl-2-phenyl-5-(hydroxymethyl)pyrimidines exhibiting strong
immunomodulatory and cytostatic properties.

IT 154957-61-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antitumor and immunomodulatory activity of)

RN 154957-61-6 HCAPLUS

CN 5-Pyrimidinemethanol, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl- (CA
INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 154957-61-6P 154957-64-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antitumor and immunomodulatory activity of)

IT 154957-57-0P 154957-58-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reduction of)

IT 154957-59-2P 154957-60-5P 154957-62-7P
154957-63-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

L15 ANSWER 31 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:228864 HCAPLUS Full-text

DOCUMENT NUMBER: 114:228864

ORIGINAL REFERENCE NO.: 114:38605a,38608a

TITLE: Synthesis and biological activity of some
4-substituted pyrimidines and fused pyrimidines

AUTHOR(S): El-Bahaie, S.; El-Deeb, A.; Assy, M. C.

CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt

SOURCE: Pharmazie (1991), 46(1), 26-8

CODEN: PHARAT; ISSN: 0031-7144

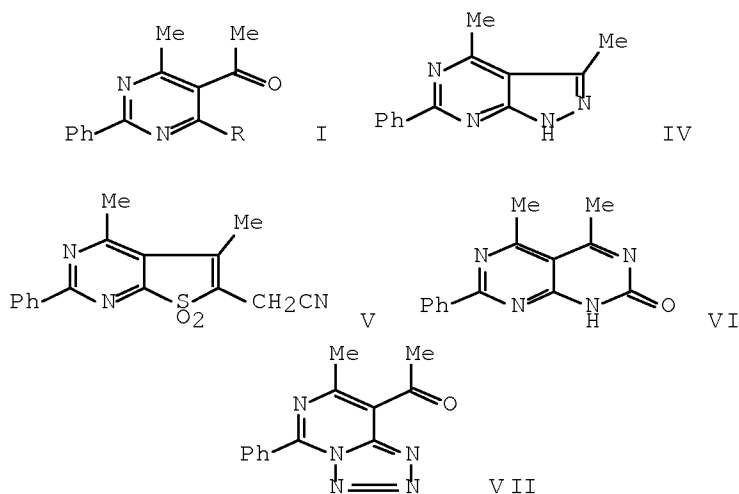
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:228864

ED Entered STN: 15 Jun 1991

GI



AB Reaction of acetylpyrimidine I (R = SH) with acrylonitrile and Cl gave I [R = SCH₂CH₂CN (II), Cl (III)] resp. II reacted with N₂H₄ and KMnO₄ in presence of H₂SO₄ to give pyrazolopyrimidine IV and thienopyrimidine V resp. Reaction of III with aromatic amines, PhNHNH₂, urea and NaN₃ gave I (R = NHR₁, NHNHPh, R₁ = substituted Ph), pyrimidopyrimidine VI, and tetrazolopyrimidine VII resp. Other reactions of III are also reported. Most of the prepared compds. were tested for antibacterial activity and most were active.

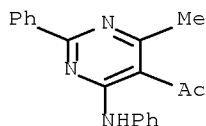
IT 133761-04-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antibacterial activity of)

RN 133761-04-3 HCAPLUS

CN Ethanone, 1-[4-methyl-2-phenyl-6-(phenylamino)-5-pyrimidinyl]- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 10
 IT 117831-37-5P 117831-38-6P 133761-03-2P 133761-04-3P
 133761-05-4P 133761-06-5P 133761-08-7P 133761-20-3P
 133782-27-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antibacterial activity of)
 IT 133761-21-4P 133761-22-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, intramol. cyclization and antibacterial activity of)

L15 ANSWER 32 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:207176 HCAPLUS Full-text

DOCUMENT NUMBER: 114:207176

ORIGINAL REFERENCE NO.: 114:34943a,34946a

TITLE: Synthesis of 4-oxo-, 4-thioxo-, or 4-aminopyrimidines from 1,2,4-dithiazolium salts

AUTHOR(S): Briel, Detlef

CORPORATE SOURCE: Sekt. Biowissenschaft., Univ. Leipzig, Leipzig, 7010, Ger. Dem. Rep.

SOURCE: Liebigs Annalen der Chemie (1991), (4), 345-8
 CODEN: LACHDL; ISSN: 0170-2041

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:207176

ED Entered STN: 31 May 1991

GI For diagram(s), see printed CA Issue.

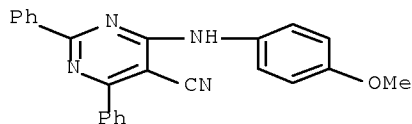
AB NCCR:CR1NHCSR1 (R = CO₂Et, R1 = Ph, 4-ClC₆H₄, 4-MeOC₆H₄, 4-MeC₆H₄, 3-MeC₆H₄; R = cyano, R1 = Ph), prepared from 1,2,4-dithiazolium salts and RCH₂CN, give pyrimidines I - III on treatment with secondary amines, R₂NH₂ (R₂ = Me, 1-naphthyl, 4-MeOC₆H₄), and NH₄OAc resp. A possible mechanism for these reactions is discussed.

IT 64499-36-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 64499-36-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-methoxyphenyl)amino]-2,6-diphenyl- (CA INDEX NAME)

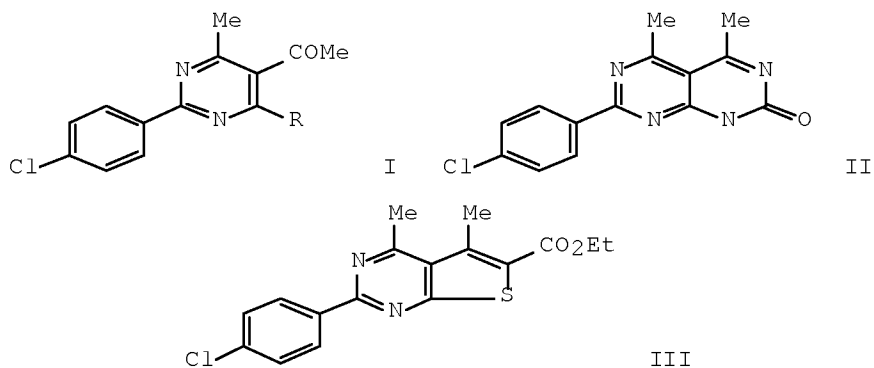


CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

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IT 13996-08-2P 64499-36-1P 83610-02-0P 106393-88-8P
106393-89-9P 106393-90-2P 118879-55-3P 131435-73-9P
131435-74-0P 131435-75-1P 131435-76-2P 131456-61-6P 131456-62-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 33 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1990:591285 HCAPLUS Full-text
DOCUMENT NUMBER: 113:191285
ORIGINAL REFERENCE NO.: 113:32384h, 32385a
TITLE: Synthesis of pyrimido[4,5-d]pyrimidine,
thieno[2,3-d]pyrimidines and 4-substituted pyrimidines
AUTHOR(S): El-Bahaie, S.; Assy, M. G.; Heikal, A. F.
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
SOURCE: Journal of the Indian Chemical Society (1990), 67(4),
327-9
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:191285
ED Entered STN: 23 Nov 1990
GI

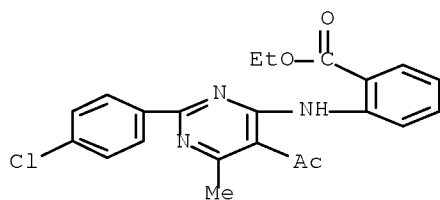


AB Reaction of chloropyrimidine I (R = Cl) with R₁NH₂ (R₁ = Ph, 2-C₆H₄CO₂H, 2-C₆H₄CO₂Et) and PhNHNH₂ gave amino derivs. I (R = NHR₁, NHNHPh) resp.
Cyclocondensation of I (R = Cl) with urea in EtOH gave pyrimidopyrimidine II.
Fusion of I (R = Cl) with Et 2-mercaptoacetate gave thienopyrimidine III.
Other reactions of I (R = Cl) are also reported.

IT 130102-83-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and hydrolysis of)

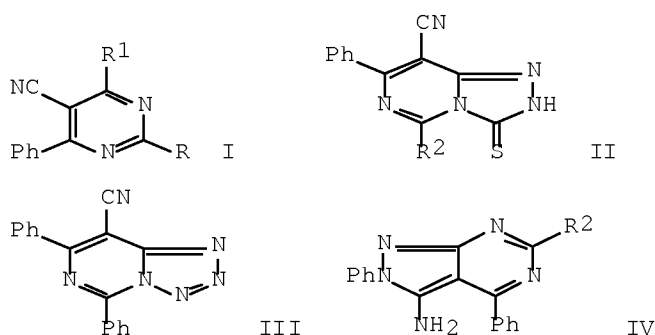
RN 130102-83-9 HCAPLUS

CN Benzoic acid, 2-[[5-acetyl-2-(4-chlorophenyl)-6-methyl-4-pyrimidinyl]amino]-, ethyl ester (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 IT 130102-83-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and hydrolysis of)
 IT 128126-71-6P 130102-81-7P 130102-82-8P 130102-84-0P
 130102-85-1P 130102-86-2P 130102-88-4P 130102-89-5P 130102-90-8P
 130102-91-9P 130103-14-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

L15 ANSWER 34 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1989:515128 HCAPLUS Full-text
 DOCUMENT NUMBER: 111:115128
 ORIGINAL REFERENCE NO.: 111:19307a,19310a
 TITLE: Azolopyrimidines and pyrimidoquinazolines from
 4-chloropyrimidines
 AUTHOR(S): El-Reedy, A. M.; Ali, A. S.; Ayyad, A. O.
 CORPORATE SOURCE: Fac. Sci., Univ. Cairo, Giza, Egypt
 SOURCE: Journal of Heterocyclic Chemistry (1989), 26(2),
 313-16
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 111:115128
 ED Entered STN: 01 Oct 1989
 GI



AB 5-Cyano-3,4-dihydro-6-phenyl-2-substituted pyrimidinones reacted with
 phosphorus oxychloride to give the corresponding 4-chloropyrimidine derivs. I
 (R = Ph, NHPh, NHCH2Ph, R1 = Cl). Compds. I (R1 = Cl) reacted with aniline

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and hydrazine to yield I (R = Ph, NHPh, NHCH₂Ph; R₁ = NHPh, NHNH₂). The hydrazino derivs. could be converted into the triazolo- and tetrazolopyrimidines II (R₂ = Ph, NHCH₂Ph) and III by the action of CS₂ and nitrous acid, resp. The reaction of I (R = NHPh, NHCH₂Ph; R₁ = Cl) with phenylhydrazine afforded directly the 5-amino-4,6-diphenyl-6H-2- substituted pyrazolopyrimidines IV (same R₂). The 4-chloro derivative I (R = Ph, R₁ = Cl) reacted with anthranilic acid to form the 5-cyano-2,4-diphenyl-6-(o-carboxyphenylamino)pyrimidine, which could be cyclized into the 4-cyano-1,3-diphenyl-10H-pyrimido[6,1-b]quinazolin-10- one by heating with acetic anhydride.

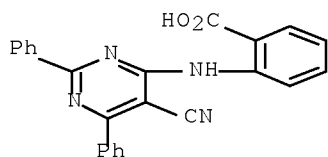
IT 122379-76-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and intramol. cyclocondensation reaction of, cyanopyrimidoquinazolinone from)

RN 122379-76-4 HCAPLUS

CN Benzoic acid, 2-[(5-cyano-2,6-diphenyl-4-pyrimidinyl)amino]- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 122379-76-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and intramol. cyclocondensation reaction of, cyanopyrimidoquinazolinone from)

IT 67677-96-7P 122379-69-5P 122379-70-8P 122379-71-9P

122379-72-0P 122379-73-1P 122379-74-2P 122379-75-3P 122379-77-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

L15 ANSWER 35 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:192843 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 110:192843

ORIGINAL REFERENCE NO.: 110:32017a,32020a

TITLE: Process for preparing novel 2H-pyrimido[5,4-d][1,3]oxazine-2,4-diones

INVENTOR(S): Machon, Zdzislaw; Cieplik, Jerzy; Mulczyk, Marian

PATENT ASSIGNEE(S): Akademia Medyczna, Wroclaw, Pol.

SOURCE: Pol., 3 pp.

CODEN: POXXA7

DOCUMENT TYPE: Patent

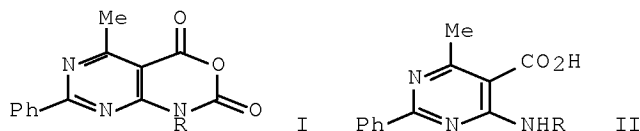
LANGUAGE: Polish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PL 130888	B2	19840929	PL 1982-238609	19821011
PRIORITY APPLN. INFO.:			PL 1982-238609	19821011
OTHER SOURCE(S):		CASREACT 110:192843		

ED Entered STN: 26 May 1989
GI



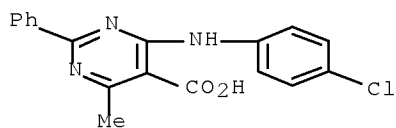
AB The title compds. [I; R = 4-ClC₆H₄, 3,4-Cl₂C₆H₃, 4,3-Cl(F₃C)C₆H₃] are prepared by heating 2-phenyl-4-thio-6-methylpyrimidine-5-carboxylic acid with the corresponding anilines at 180-200°8 to obtain aminopyrimidine II which is treated with ClCO₂Et at room temperature. The overall yield of I was 21.7, 48, or 42% for R = 4-ClC₆H₄, 3,4-Cl₂C₆H₃, or 4,3-Cl(F₃C)C₆H₃, resp., after crystallization from Me₂CO. The compds. inhibit the growth of Staphylococci, including Staphylococcus aureus, Streptococci, Corynebacteria, and other pathogens in concns. of 50-3 µg/mL.

IT 94036-97-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with Et chloroformate)

RN 94036-97-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-
(CA INDEX NAME)



IC C07D498-04

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 94036-97-2P 94037-00-0P 118564-47-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with Et chloroformate)

L15 ANSWER 36 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1989:23907 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 110:23907

ORIGINAL REFERENCE NO.: 110:4049a,4052a

TITLE: Process for preparing novel
aminopyrimidinecarboxylates

INVENTOR(S): Machon, Zdzislaw; Cieplik, Jerzy; Mulczyk, Marian

PATENT ASSIGNEE(S): Akademia Medyczna, Wroclaw, Pol.

SOURCE: Pol., 2 pp.
CODEN: POXXA7

DOCUMENT TYPE: Patent

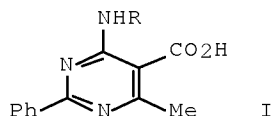
LANGUAGE: Polish

10/595734

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 130887	B2	19840929	PL 1982-238608	19821011
PRIORITY APPLN. INFO.:			PL 1982-238608	19821011
OTHER SOURCE(S):			CASREACT 110:23907	
ED Entered STN: 21 Jan 1989				
GI				

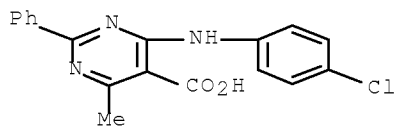


AB The title compds. (I; R = 4-ClC₆H₄, 3,4-Cl₂C₆H₃) are prepared by heating 2-phenyl-4-thio-6-methylpyrimidine-5-carboxylic acid with 4-ClC₆H₄NH₂ or 3,4-Cl₂C₆H₃NH₂ at 180-200°. I (R = 4-ClC₆H₄) and I (R = 3,4-Cl₂C₆H₃) were obtained in a yield of 58.9 or 59.0%, resp., after crystallization from MeOH-CHCl₃ containing pyridine. Both compds. inhibit the growth of gram-pos. bacteria in concns. of 50-12.5 µg/mL.

IT 94036-97-2P, 4-(p-Chloroanilino)-6-methyl-2-phenylpyrimidine-5-carboxylic acid
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as bactericide)

RN 94036-97-2 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[(4-chlorophenyl)amino]-6-methyl-2-phenyl-
 (CA INDEX NAME)



IC C07D239-42

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 95-76-1P, 3,4-Dichloroaniline 94036-97-2P, 4-(p-Chloroanilino)-6-methyl-2-phenylpyrimidine-5-carboxylic acid 94037-00-0P, 4-(3,4-Dichloroanilino)-6-methyl-2-phenylpyrimidine-5-carboxylic acid
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as bactericide)

L15 ANSWER 37 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:570451 HCAPLUS Full-text

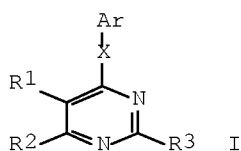
DOCUMENT NUMBER: 109:170451

10/595734

ORIGINAL REFERENCE NO.: 109:28279a,28282a
 TITLE: Preparation of pyrimidine derivatives as drugs for treating disease and disorders of cerebral blood vessels
 INVENTOR(S): Takatani, Takao; Takasugi, Hisashi; Kuno, Atsushi; Sugiyama, Yoshie; Sakai, Hiroyoshi; Okubo, Mitsuru
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63107966	A	19880512	JP 1987-124326	19870520
			JP 1986-117800	A1 19860522

PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): CASREACT 109:170451; MARPAT 109:170451
 ED Entered STN: 12 Nov 1988
 GI

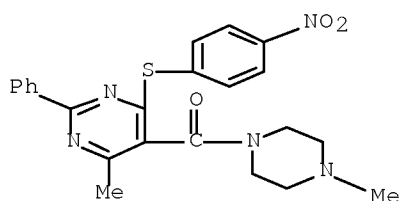


AB The title compds. [I; Ar = (nitro or haloalkyl)aryl, fused benzene-heterocyclyl containing N or O; X = bond, lower hydroxyalkylene, lower alkenylene, NH, S, CO; R1 = (esterified) CO2H, lower hydroxyalkyl, lower haloalkyl, (N-substituted) CONH2 or lower aminoalkyl; R2 = H, lower alkyl; optionally R1R2 completing (substituted) N-containing heterocycle; R3 = aryl], were prepared as drugs e.g. for treating apoplexy. A mixture of 6-bromomethyl-4-(3-nitrophenyl)-2-phenyl-5-pyrimidinecarboxylic acid Me ester and Me2NCH2CHNH2 in iso-PrOH was stirred at 70° for 1 h to give 6-[2-(dimethylamino)ethyl]-4-(3-nitrophenyl)-5-oxo-2-phenyl-6,7-dihydropyrrolo[3,4-d]pyrimidine. The latter at 10 mg/kg i.p. extended the survival time of mice from 28.2 ± 1.1 s (control) to 33.6 ± 2.9 s when the mice were exposed to 100% N atmospheric

IT 116904-26-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as drug for treating apoplexy)

RN 116904-26-8 HCAPLUS

CN Piperazine, 1-methyl-4-[[6-methyl-4-[(4-nitrophenyl)thio]-2-phenyl-5-pyrimidinyl]carbonyl]- (9CI) (CA INDEX NAME)



IC ICM C07D239-28
ICS A61K031-505; C07D239-32; C07D239-42; C07D403-06; C07D413-04;
C07D487-04

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 103294-21-9P 116904-11-1P 116904-12-2P 116904-13-3P 116904-14-4P
116904-15-5P 116904-16-6P 116904-17-7P 116904-18-8P 116904-19-9P
116904-20-2P 116904-21-3P 116904-22-4P 116904-23-5P 116904-24-6P
116904-25-7P 116904-26-8P 116904-27-9P 116904-28-0P
116904-29-1P 116904-30-4P 116904-31-5P 116904-32-6P 116904-33-7P
116904-34-8P 116904-35-9P 116904-36-0P 116904-37-1P 116904-38-2P
116904-39-3P 116904-40-6P 116904-41-7P 116904-42-8P
116904-43-9P 116904-44-0P 116904-45-1P 116904-46-2P
116904-47-3P 116904-48-4P 116904-49-5P 116904-50-8P 116904-51-9P
116904-52-0P 116904-53-1P 116904-54-2P 116904-55-3P
116904-56-4P 116904-57-5P 116904-58-6P 116904-59-7P
116904-60-0P 116904-61-1P 116904-62-2P 116904-63-3P 116904-64-4P
116904-65-5P 116904-66-6P 116904-67-7P 116904-68-8P 116904-69-9P
116904-78-0P 116924-79-9P 116924-80-2P 117699-25-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as drug for treating apoplexy)

L15 ANSWER 38 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:570349 HCAPLUS Full-text

DOCUMENT NUMBER: 109:170349

ORIGINAL REFERENCE NO.: 109:28255a,28258a

TITLE: Reaction of 4-(arylamino)-5-cyanopyrimidines with some
aliphatic amines

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Med. Fak., Sofia, 1431, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1987), 40(11), 75-8
CODEN: DBANAD; ISSN: 0366-8681

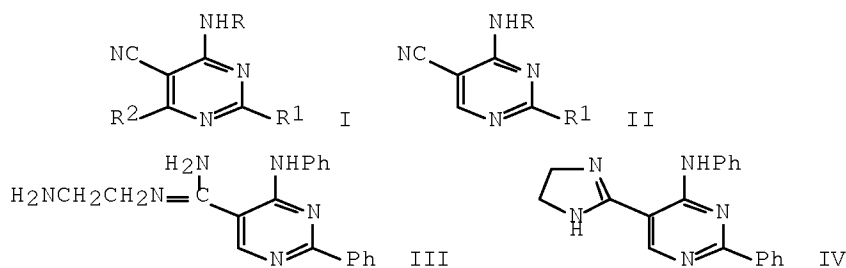
DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 109:170349

ED Entered STN: 12 Nov 1988

GI

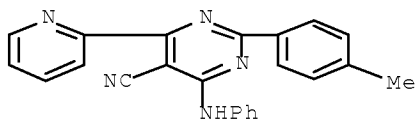


AB Reactions of a range of aminopyrimidinecarbonitriles with aliphatic amines, especially H₂NCH₂CH₂NH₂ and Me₂NCH₂CH₂CH₂NH₂, were studied. I (e.g., R = R₁ = R₂ = Ph) underwent simple amine exchange, while II formed amidines, e.g., III, which cyclized on heating to give IV.

IT 64499-25-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (aminolysis of, with dimethylpropanediamine)

RN 64499-25-8 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(4-methylphenyl)-4-(phenylamino)-6-(2-pyridinyl)- (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 64499-25-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (aminolysis of, with dimethylpropanediamine)

IT 64499-03-2 67677-96-7 67677-99-0 116749-65-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (aminolysis of, with ethylenediamine)

L15 ANSWER 39 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:131843 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 108:131843

ORIGINAL REFERENCE NO.: 108:21635a,21638a

TITLE: Preparation of 4-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid as a bactericide intermediate

INVENTOR(S): Machon, Zdzislaw; Cieplik, Jerzy; Mulczyk, Marian

PATENT ASSIGNEE(S): Akademia Medyczna, Wroclaw, Pol.

SOURCE: Pol., 2 pp.
 CODEN: POXXA7

DOCUMENT TYPE: Patent

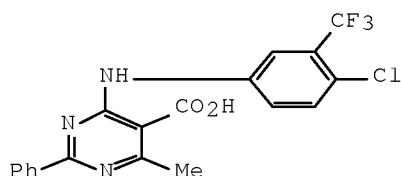
LANGUAGE: Polish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/595734

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 130008	B2	19840630	PL 1982-238610	19821011
PRIORITY APPLN. INFO.:			PL 1982-238610	19821011
OTHER SOURCE(S):			CASREACT 108:131843	
ED Entered STN: 15 Apr 1988				
GI				



I

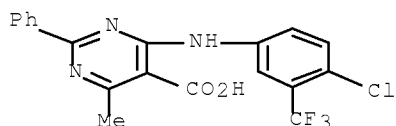
AB The title compound (I) is prepared by melting 4-mercapto-6-methyl-2-phenyl-5-pyrimidinecarboxylic acid (II) together with 4,3-Cl(F3C)C6H3NH2 (III) at 180-200°. I is an intermediate for preparation of the bactericide 1-[4-chloro-3-(trifluoromethyl)phenyl]-5-methyl-7-phenyl-2H-pyrimidino[4,5- d][1,3]oxazine-2,4(1H)-dione. Thus, 5 g II was melted with 4 g III for 5h at 190° and the product crystallized from MeOH to give 3.8 g (58%) I.

IT 94037-01-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as bactericide intermediate)

RN 94037-01-1 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-[[4-chloro-3-(trifluoromethyl)phenyl]amino]-6-methyl-2-phenyl- (CA INDEX NAME)



IC C07D239-42

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 94037-01-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as bactericide intermediate)

L15 ANSWER 40 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:21928 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 108:21928

ORIGINAL REFERENCE NO.: 108:3727a,3730a

TITLE: Preparation of azolylaryl(piperazinylphenoxy)dioxolane
s as medical fungicides

INVENTOR(S): Kampe, Klaus Dieter; Raether, Wolfgang; Dittmar,
Walter; Haenel, Heinz

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 49 pp.

10/595734

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1

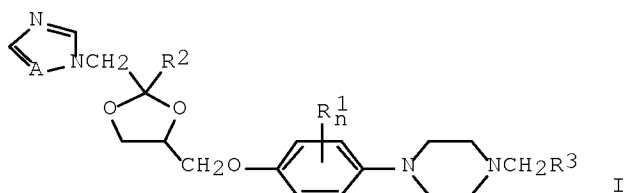
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3609598	A1	19871001	DE 1986-3609598	19860321
EP 237962	A2	19870923	EP 1987-103588	19870312
EP 237962	A3	19890322		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 8701206	A	19870922	FI 1987-1206	19870319
ZA 8702021	A	19871028	ZA 1987-2021	19870319
HU 48236	A2	19890529	HU 1987-1220	19870319
US 4859670	A	19890822	US 1987-28193	19870319
DK 8701440	A	19870922	DK 1987-1440	19870320
NO 8701165	A	19870922	NO 1987-1165	19870320
AU 8770422	A	19870924	AU 1987-70422	19870320
AU 590692	B2	19891109		
JP 62230781	A	19871009	JP 1987-64427	19870320
IL 81950	A	19910630	IL 1987-81950	19870320
CA 1294280	C	19920114	CA 1987-532655	19870320
PRIORITY APPLN. INFO.:			DE 1986-3609598	A 19860321

OTHER SOURCE(S): MARPAT 108:21928

ED Entered STN: 23 Jan 1988

GI



AB The title compds. [I; R1 = C1-3 alkyl, F, Cl; R2 = naphthyl, thienyl, halothienyl, (substituted) Ph; Y = (substituted) phenylpyrimidinyl, phenylpyridyl, quinolyl, isoquinolyl; A = CH, N; n = 0-2] were prepared as medicinal fungicides. cis-2-S(R)-(2,4-Dichlorophenyl)-2-(1,2,4-triazol-lylmethyl)-4-R(S)methanesulfonyloxymethyl-1,3-dioxolane in DMF was added to a mixture of 4-[[4-(4-hydroxyphenyl)-1-piperazinyl]methyl]-6-methoxy-2-phenylpyrimidine and NaH in DMF and the mixture was refluxed 4 h to give 66.6% I (R1 = H, R2 = 2,4-Cl₂C₆H₃, R3 = 6-methoxy-2-phenyl-4-pyrimidinyl, A = N). I were up to 60% more effective than terconazole against Trichophyton mentagrophytes.

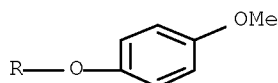
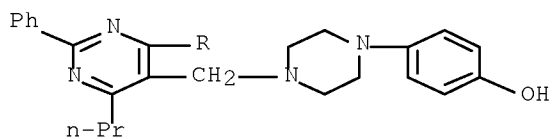
IT 111921-44-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as intermediate for medicinal fungicide)

RN 111921-44-9 HCAPLUS

CN Phenol, 4-[[4-[[4-(4-methoxyphenoxy)-2-phenyl-6-propyl-5-pyrimidinyl]methyl]-1-piperazinyl]- (CA INDEX NAME)



IC ICM C07D405-14
 ICS C07D239-26; C07D239-28; C07D239-30; C07D239-34; C07D239-36;
 C07D213-04; C07D215-02; C07D217-02; A01N043-50; A01N043-54;
 A01N043-653

ICA C07D233-60

ICI C07D249-08, C07D213-36, C07D213-62, C07D215-12, C07D239-26, C07D239-28,
 C07D239-34

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1

IT 111921-21-2P 111921-22-3P 111921-23-4P 111921-24-5P 111921-25-6P
 111921-26-7P 111921-27-8P 111921-28-9P 111921-29-0P 111921-30-3P
 111921-31-4P 111921-32-5P 111921-33-6P 111921-34-7P 111921-35-8P
 111921-36-9P 111921-37-0P 111921-38-1P 111921-39-2P 111921-40-5P
 111921-41-6P 111921-42-7P 111921-43-8P ~~111921-44-9P~~
 111921-45-0P 111921-46-1P 111921-47-2P 111921-48-3P 111921-49-4P
 111921-50-7P 111921-51-8P 111921-52-9P 111921-53-0P 111921-54-1P
 111921-55-2P 111921-56-3P 111921-57-4P 111921-58-5P 111921-59-6P
 111921-60-9P 111933-28-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for medicinal fungicide)

IT 75050-34-9P 75050-35-0P 75050-36-1P 75050-37-2P 75050-38-3P
 75050-39-4P 111920-67-3P 111920-68-4P 111920-69-5P 111920-70-8P
 111920-71-9P 111920-72-0P 111920-73-1P 111920-74-2P 111920-75-3P
 111920-76-4P 111920-77-5P 111920-78-6P 111920-79-7P 111920-80-0P
 111920-82-2P 111920-83-3P 111920-84-4P 111920-85-5P 111920-86-6P
 111920-87-7P 111920-88-8P 111920-89-9P 111920-90-2P 111920-91-3P
 111920-92-4P 111920-93-5P 111920-94-6P 111920-95-7P 111920-96-8P
 111920-97-9P 111920-98-0P 111920-99-1P 111921-00-7P 111921-01-8P
 111921-02-9P 111921-03-0P 111921-04-1P 111921-05-2P 111921-06-3P
 111921-07-4P 111921-08-5P 111921-09-6P 111921-10-9P 111921-11-0P
 111921-12-1P 111921-13-2P 111921-14-3P 111921-15-4P 111921-16-5P
 111921-17-6P 111921-18-7P 111921-19-8P 111921-20-1P 111943-47-6P
 111943-48-7P 111943-49-8P 111943-50-1P ~~111943-51-2P~~
 111943-52-3P 111943-53-4P 111943-53-4P 111973-80-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as medicinal fungicide)

L15 ANSWER 41 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:67341 HCAPLUS Full-text

DOCUMENT NUMBER: 106:67341

ORIGINAL REFERENCE NO.: 106:11079a,11082a

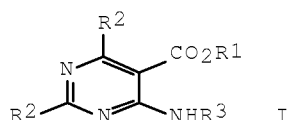
TITLE: 2,6-Diaryl-(4-arylamino)-5-pyrimidinecarboxylic acid
 esters

INVENTOR(S): Briel, Detlef; Wagner, Guenther

10/595734

PATENT ASSIGNEE(S): Karl-Marx-Universitaet Leipzig, Ger. Dem. Rep.
 SOURCE: Ger. (East), 4 pp.
 CODEN: GEXXA8
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 236310	A1	19860604	DD 1984-266541	19840823
PRIORITY APPLN. INFO.:			DD 1984-266541	19840823
OTHER SOURCE(S):	CASREACT 106:67341			
ED Entered STN:	07 Mar 1987			
GI				

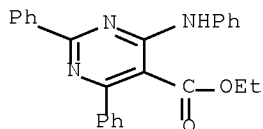


AB Pyrimidines I [R₁ = C1-6 alkyl; R₂, R₃ = (un)substituted aryl], of pharmaceutical interest, were prepared by cyclization of NCC(CO₂R₁):CR₂NHC(S)R₂ (II) with H₂NR₃. A mixture of II (R₁ = Et, R₂ = Ph) 1 and PhNH₂ 0.28 part in MeCH(OH)CH₂OH was kept 7 days at room temperature to give 52% I (R₃ = Et, R₂ = R₃ = Ph).

IT 105849-65-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of, as pharmaceutical)

RN 105849-65-8 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 2,4-diphenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



IC ICM C07D239-42

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 63

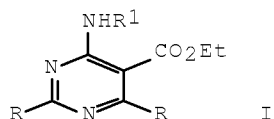
IT 105849-65-8P 105849-66-9P 105849-67-0P
 105849-68-1P 105849-69-2P 105849-70-5P
 105849-71-6P 106393-88-8P 106393-89-9P
 106393-90-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

10/595734

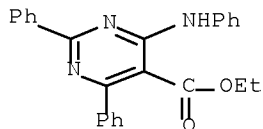
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as pharmaceutical)

L15 ANSWER 42 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:32963 HCAPLUS Full-text
DOCUMENT NUMBER: 106:32963
ORIGINAL REFERENCE NO.: 106:5527a,5530a
TITLE: Preparation of 4-(arylamino)pyrimidine-5-carboxylic
acid esters from 2-cyano-3-(thioaroylamido)cinnamic
acid esters and arylamines
AUTHOR(S): Briel, D.; Wagner, G.
CORPORATE SOURCE: Sekt. Biowiss., Karl-Marx-Univ., Leipzig, DDR-7010,
Ger. Dem. Rep.
SOURCE: Pharmazie (1985), 40(11), 799-800
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 106:32963
ED Entered STN: 07 Feb 1987
GI



AB Cyclization of RC(S)NHCR:C(CN)CO2Et (R = Ph, m-, p-tolyl) with R1NH2 (R1 = Ph, m-tolyl, p-anisyl, p-ClC6H4, p-HOC6H4) in methylglycol-HOAc gave 33-62% 7 pyrimidinecarboxylates I.
IT 105849-65-8P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)
RN 105849-65-8 HCAPLUS
CN 5-Pyrimidinecarboxylic acid, 2,4-diphenyl-6-(phenylamino)-, ethyl ester
(CA INDEX NAME)

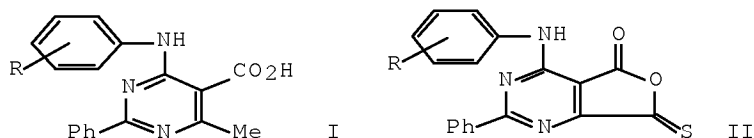


CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 105849-65-8P 105849-66-9P 105849-67-0P
105849-68-1P 105849-69-2P 105849-70-5P
105849-71-6P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)

L15 ANSWER 43 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

10/595734

ACCESSION NUMBER: 1986:572394 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 105:172394
 ORIGINAL REFERENCE NO.: 105:27785a,27788a
 TITLE: Synthesis of furo[3,4-d]pyrimidine derivatives via reaction of 4-methylpyrimidine-5-carboxylic acids with thionyl chloride
 AUTHOR(S): Machon, Z.; Cieplik, J.
 CORPORATE SOURCE: Dep. Org. Chem., Med. Acad., Wroclaw, Pol.
 SOURCE: Synthesis (1986), (2), 142-4
 CODEN: SYNTBF; ISSN: 0039-7881
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 105:172394
 ED Entered STN: 15 Nov 1986
 GI



AB Cyclization of pyrimidines I (R = H, o- and p-Cl, p-EtO) with SOCl₂ in boiling benzene gave 57-73% furopyrimidines II.
 IT 94036-95-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclization of, with thionyl chloride)
 RN 94036-95-0 HCAPLUS
 CN 5-Pyrimidinecarboxylic acid, 4-methyl-2-phenyl-6-(phenylamino)- (CA INDEX NAME)

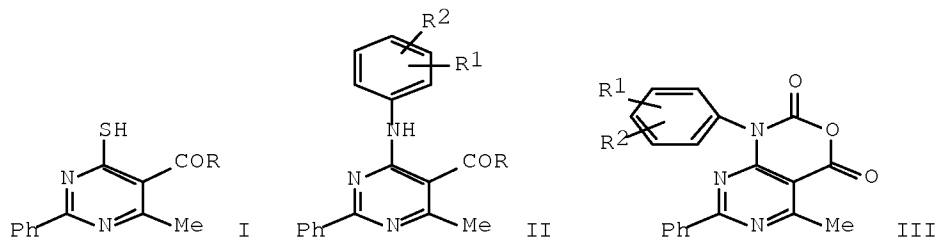


CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 IT 94036-95-0 94036-96-1 94036-97-2
 94036-99-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclization of, with thionyl chloride)

L15 ANSWER 44 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1985:45867 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 102:45867
 ORIGINAL REFERENCE NO.: 102:7213a,7216a
 TITLE: Synthesis and antibacterial activity of 2-phenylpyrimidines and pyrimidine[1,3]oxazines
 AUTHOR(S): Machon, Zdzislaw; Cieplik, Jerzy
 CORPORATE SOURCE: Dep. Chem., Sch. Med., Wroclaw, 50-137, Pol.

10/595734

SOURCE: European Journal of Medicinal Chemistry (1984), 19(4),
359-63
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:45867
ED Entered STN: 09 Feb 1985
GI



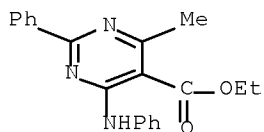
AB Mercaptopyrimidines I (R = OEt, OH) reacted with HNC₆H₃R₁R₂ (R₁ = H, R₂ = H, 4-OEt, 4-Cl, 3-Cl, 2-Cl, 3-CF₃; R₁ = 4-Cl, R₂ = 3-Cl, 3-CF₃) to give 32.5-73.5% anilinopyrimidines II, which (R = OH) cyclized to give 21.4-58.2% pyrimidineoxazines III on treatment with EtO₂CCl. Treatment of III (R₁ = H, R₂ = H, 4-OEt, 4-Cl) with HNEt₂ or H₂NEt, or of II (R = OH; R₁ = H; R₂ = H, 4-OEt, 4-Cl) with anilines and EtO₂CCl gave 14.8-78.9% II (R = NEt, NEt₂, NHC₆H₄OEt-4, NHC₆H₄Cl-4; same R₁, R₂). II (R = OH; R₁ = H, R₂ = H, Cl, 4-OEt, 4-Cl, 3-Cl, 2-Cl, 3-CF₃; R₁ = 4-Cl, R₂ = 3-Cl, 3-CF₃) and III (same R₁, R₂) were tested for antibacterial activity; III (R₁ = 4-Cl, R₂ = 3-CF₃) had a MIC of 3 µg/mL against 6 bacteria of Sarcina, Streptococcus, and Staphylococcus strains, and of 25 µg/mL against 18 bacteria.

IT 94037-15-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 94037-15-7 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-2-phenyl-6-(phenylamino)-, ethyl ester (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 10

IT 94037-15-7P 94037-16-8P 94037-17-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

IT 94036-81-4P 94036-82-5P 94036-83-6P

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94036-84-7P 94036-85-8P 94036-86-9P
94036-87-0P 94036-88-1P 94036-89-2P
94036-90-5P 94036-91-6P 94036-92-7P
94036-93-8P 94036-94-9P 94037-10-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 55914-58-4P 94036-95-0P 94036-96-1P
94036-97-2P 94036-98-3P 94036-99-4P
94037-00-0P 94037-01-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, bactericidal activity, and cyclization of, with
chloroformate,
pyrimidineoxazine by)

L15 ANSWER 45 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:612495 HCAPLUS Full-text

DOCUMENT NUMBER: 99:212495

ORIGINAL REFERENCE NO.: 99:32703a,32706a

TITLE: Enamidines. Part 3. Synthesis of 4-aminopyrimidine
derivatives from N1-alkenyl-N2-
(alkylcarbamoyl)benzamidines

AUTHOR(S): Venayak, Narinder D.; Wakefield, Basil J.

CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5
4WT, UK

SOURCE: Journal of Chemical Research, Synopses (1983), (8),
200-1

CODEN: JRPSDC; ISSN: 0308-2342

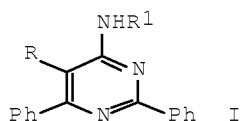
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 99:212495

ED Entered STN: 12 May 1984

GI



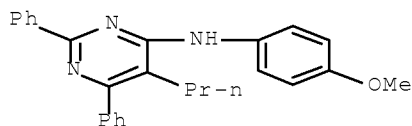
AB Heating RCH:CPhNH(CPh)NC(Z)NHR1 [(R = Ph, R1 = Me, Me2CH; R = Pr, R1 = 4-
MeOC6H4; R = H, R1 = Ph)(Z = O); R = Ph, R1 = Et, Z = S] with .apprx.2 mol
equiv 4-MeC6H4SO2Cl in pyridine at 80° for 1.5 h gave the pyrimidine derivs. I
(R, R1 as before) in 18-89% yield.

IT 87946-31-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 87946-31-4 HCAPLUS

CN 4-Pyrimidinamine, N-(4-methoxyphenyl)-2,6-diphenyl-5-propyl- (CA INDEX
NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 25

IT 87946-29-0P 87946-30-3P 87946-31-4P 87946-32-5P
87946-33-6P 87946-34-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 46 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:487767 HCAPLUS Full-text

DOCUMENT NUMBER: 99:87767

ORIGINAL REFERENCE NO.: 99:13529a,13532a

TITLE: Lithium-mediated rearrangement of sterically hindered
aromatic aldehyde aryl hydrazones

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, 1431, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1983), 36(2), 233-6

CODEN: DBANAD; ISSN: 0366-8681

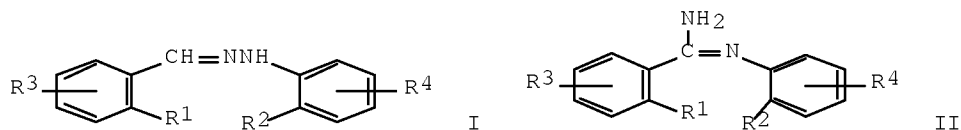
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 99:87767

ED Entered STN: 12 May 1984

GI



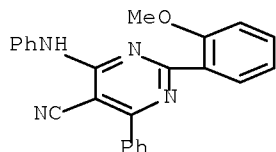
AB Boiling hydrazones I (R1 = OMe, OEt, H, Me, Cl; R2 = H, Cl; R3 = H, 3,4-Cl2, 4-Me, 4-Cl; R4 = H, 4-Cl, 5-Cl; 7 compds.) in xylene for 20-30 min in the presence in LiNH₂ and O gave 40-70% amidines II.

IT 86726-07-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 86726-07-0 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-(2-methoxyphenyl)-4-phenyl-6-(phenylamino)-
(CA INDEX NAME)



CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 IT 23564-81-0P 86725-96-4P 86725-97-5P 86725-99-7P 86726-00-3P
 86726-02-5P 86726-04-7P 86726-06-9P 86726-07-0P
 86726-08-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

L15 ANSWER 47 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1983:160045 HCAPLUS Full-text

DOCUMENT NUMBER: 98:160045

ORIGINAL REFERENCE NO.: 98:24279a,24282a

TITLE: Electron impact mass spectra of chlorine-containing
 poly-substituted pyrimidines

AUTHOR(S): Kumanova, B.; Mincheva, M.

CORPORATE SOURCE: Dep. Fundam. Chem. Technol., Higher Inst.

Chem.-Technol., Sofia, 1156, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1982), 35(9), 1245-8

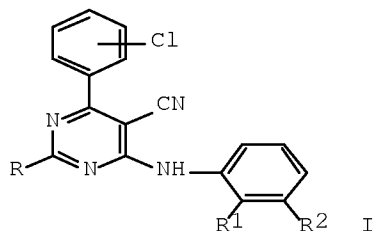
CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

GI



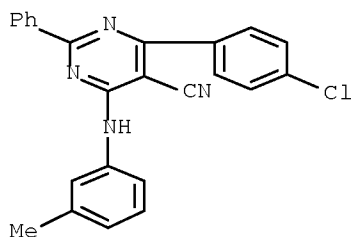
AB The electron impact mass spectra of I (R = Ph, β -naphthol; R1 = H, OMe; R2 = H, Me) were recorded. Similar compds. were distinguished by the position of the Cl atom.

IT 76851-25-7

RL: PRP (Properties)
 (mass spectrum of)

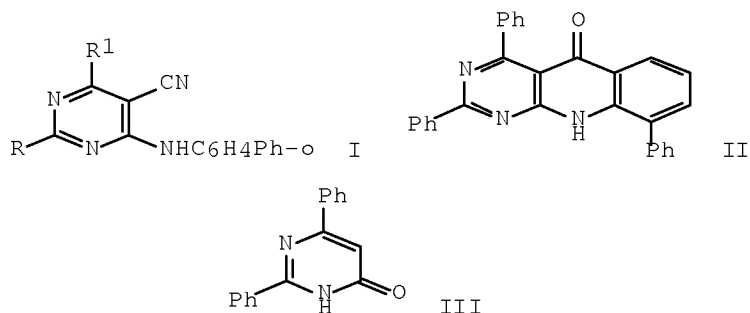
RN 76851-25-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(3-methylphenyl)amino]-2-phenyl- (CA INDEX NAME)



CC 22-8 (Physical Organic Chemistry)
 IT 76851-25-7 76851-26-8 76851-29-1 76851-30-4
 76851-31-5 76851-32-6 76851-34-8 76851-35-9
 76851-36-0 76851-37-1 76851-39-3
 RL: PRP (Properties)
 (mass spectrum of)

L15 ANSWER 48 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1981:208795 HCAPLUS Full-text
 DOCUMENT NUMBER: 94:208795
 ORIGINAL REFERENCE NO.: 94:34151a, 34154a
 TITLE: 2,6-Disubstituted 4-(2-biphenylamino)-5-cyanopyrimidines
 AUTHOR(S): Robev, S.
 CORPORATE SOURCE: Inst. Med., Sofia, 1431, Bulg.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1980), 33(6), 791-4
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 OTHER SOURCE(S): CASREACT 94:208795
 ED Entered STN: 12 May 1984
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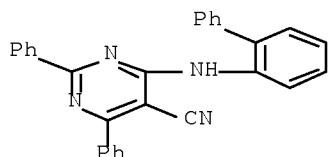
AB The pyrimidines I (R = Ph, R1 = Ph, p-MeC6H4, 2-naphthyl; R = p-MeC6H4, R1 = Ph, p-PhC6H4) were prepared by cyclization of o-PhC6H4N:CRNH2 with R1CH:C(CN)2. I (R = R1 = Ph) was cyclized with polyphosphoric acid to give the pyrimidoquinoline II. I (R = R1 = Ph) was converted to the pyrimidinone III.
 IT 77740-00-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

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(preparation and cyclization of)

RN 77740-00-2 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-([1,1'-biphenyl]-2-ylamino)-2,6-diphenyl- (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 77740-00-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of)

IT 15969-46-7P 77740-01-3P 77740-02-4P

77740-03-5P 77756-90-2P 77756-91-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 49 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1981:121449 HCAPLUS Full-text

DOCUMENT NUMBER: 94:121449

ORIGINAL REFERENCE NO.: 94:19859a,19862a

TITLE: Preparation of 2,4-disubstituted 6-chlorophenyl-5-cyanopyrimidines

AUTHOR(S): Mincheva, M.

CORPORATE SOURCE: Exp. Tumour Ther. Dep., Oncol. Res. Inst., Sofia, 1156, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1980), 33(7), 925-7
CODEN: DBANAD; ISSN: 0366-8681

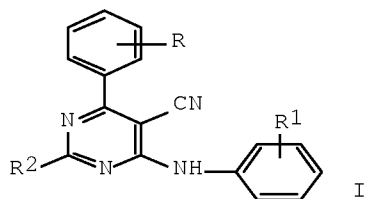
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 94:121449

ED Entered STN: 12 May 1984

GI



AB Pyrimidines I (R = 2-Cl, 3-Cl, 4-Cl; R1 = H, 3-Me, 2-OMe; R2 = Ph, 2-naphthyl, 4-Me2NC6H4) were obtained in 12-46% yield by treating R1C6H4N:CR2NH2 with RC6H4CH:C(CN)2. I had bactericidal activity (no data).

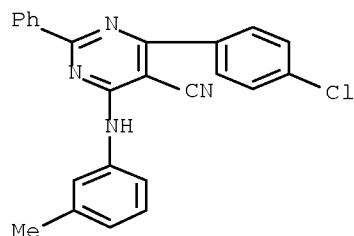
IT 76851-25-7P

10/595734

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76851-25-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(4-chlorophenyl)-6-[(3-methylphenyl)amino]-2-phenyl- (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 76851-25-7P 76851-26-8P 76851-27-9P

76851-28-0P 76851-29-1P 76851-30-4P

76851-31-5P 76851-32-6P 76851-33-7P

76851-34-8P 76851-35-9P 76851-36-0P

76851-37-1P 76851-38-2P 76851-39-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 50 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1980:128839 HCAPLUS Full-text

DOCUMENT NUMBER: 92:128839

ORIGINAL REFERENCE NO.: 92:21011a, 21014a

TITLE: Synthesis of some biphenyl substituted
5-cyanopyrimidines

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, 31, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1979), 32(3), 309-11
CODEN: DBANAD; ISSN: 0366-8681

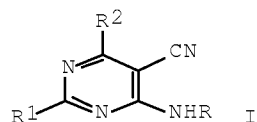
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 92:128839

ED Entered STN: 12 May 1984

GI



AB Cyanopyrimidines I (R = Ph, 2-MeC6H4, 3-MeC6H4, 4-MeC6H4, 4-PhC6H4; R1 = Ph, 4-FC6H4, 4-MeC6H4, 4-PhC6H4; R2 = 4-MeC6H4, 2-naphthyl, 3-BrC6H4, Ph, 4-MeOC6H4, 2-MeOC6H4, 4-PhC6H4) were obtained in 48-70% yield by condensing RN:CR1NH2 with R2CH:C(CN)2.

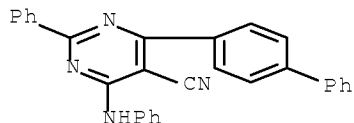
IT 72713-00-9P

10/595734

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 72713-00-9 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[1,1'-biphenyl]-4-yl-2-phenyl-6-(phenylamino)-
(CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 72713-00-9P 72713-01-0P 72713-02-1P
72713-03-2P 72713-04-3P 72713-05-4P
72713-06-5P 72713-07-6P 72713-08-7P
72713-09-8P 72713-10-1P 72713-11-2P
72713-12-3P 72713-13-4P 72713-14-5P
72727-89-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 51 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:186887 HCAPLUS Full-text

DOCUMENT NUMBER: 90:186887

ORIGINAL REFERENCE NO.: 90:29697a, 29700a

TITLE: Synthesis of pyrimido(4,5-b)quinoline derivatives

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Med. Akad., Sofia, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(5), 551-4

CODEN: DBANAD; ISSN: 0366-8681

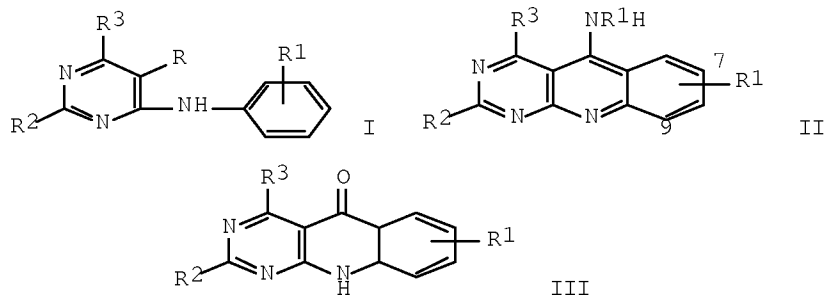
DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 90:186887

ED Entered STN: 12 May 1984

GI



AB Cyclizing pyrimidines I (R = CN; R1 = H, R2 = R3 = Ph; R1 = H, R2 = Ph, R3 = p-tolyl, 2,4-xylyl; R1 = p-Me, o-Me, R2 = R3 = Ph; R1 = p-Me, R2 = Ph, R3 = 2,4-xylyl) with polyphosphoric acid at 180-200° gave II (R1 = H, 7-Me, 9-Me;

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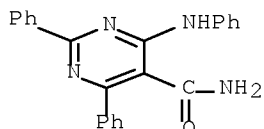
R4 = H), which were converted to II (R4 = Ac) by acetylation. Treating II (R4 = H) with H3PO4 at 150° gave III, which was also prepared by treating II (R4 = Ac) with 10% HCl at 100°. Treating I (R = CN) with polyphosphoric acid at 100° gave I (R = CONH2), which gave I (R = CN) on dehydration. Treating I (R = CONH2) with polyphosphoric acid at 180-200° gave II (R4 = H).

IT 69333-88-6F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 69333-88-6 HCAPLUS

CN 5-Pyrimidinecarboxamide, 2,4-diphenyl-6-(phenylamino)- (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 69333-88-6F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

IT 69333-85-3P 69333-87-5P 69333-91-1P 69333-93-3P 69333-94-4P

69333-97-7P 69333-98-8P 69334-00-5P 69334-01-6P

69334-02-7P 69334-04-9P 69334-05-0P 69334-06-1P

69334-07-2P 69413-77-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 67677-96-7

RL: RCT (Reactant); RACT (Reactant or reagent)
(ring closure of)

L15 ANSWER 52 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:137763 HCAPLUS Full-text

DOCUMENT NUMBER: 90:137763

ORIGINAL REFERENCE NO.: 90:21845a,21848a

TITLE: Synthesis of 2,6,9-trisubstituted 7H-purin-8-ones

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Med. Fak., Sofia, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(9), 1131-4

CODEN: DBANAD; ISSN: 0366-8681

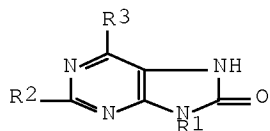
DOCUMENT TYPE: Journal

LANGUAGE: Russian

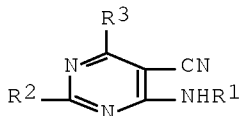
OTHER SOURCE(S): CASREACT 90:137763

ED Entered STN: 12 May 1984

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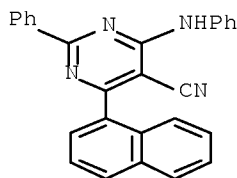
II

AB The title compds. I [R1 = (un)substituted Ph, R2 = (un)substituted Ph, 2-naphthyl; R3 = (un)substituted Ph, 1- or 2-naphthyl, 2-pyridyl] were prepared in 42-90 % yields from pyrimidinecarbonitriles II by hydration with polyphosphoric acid followed by cyclization in the presence of NaOCl-KOH. I (R1 = R2 = R3 = Ph, R1 = Ph, R2 = 4-FC6H4, R3 = 4-MeC6H4) are effective as inhibitors of Sarcoma-180 Kroker in mice at 180 mg/kg and 150 mg/kg, resp.

IT 64499-00-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydration of)

RN 64499-00-9 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(1-naphthalenyl)-2-phenyl-6-(phenylamino)-
 (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 64499-00-9 64499-01-0 64499-32-7 64499-41-8
 64499-46-3 64530-27-4 67677-96-7
 67677-97-8 69728-70-7 69728-84-3
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydration of)

IT 69333-88-6P 69334-02-7P 69334-06-1P
 69413-77-0P 69728-73-0P 69728-74-1P
 69728-75-2P 69728-76-3P 69728-77-4P
 69728-78-5P 69728-86-5P 69728-87-6P
 69728-88-7P 69728-89-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and cyclization of)

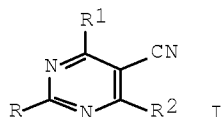
IT 69728-71-8P 69728-72-9P 69728-83-2P
 69728-85-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and hydration of)

L15 ANSWER 53 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1979:6337 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 90:6337
 ORIGINAL REFERENCE NO.: 90:1160h,1161a
 TITLE: Acylketene-S,S- and acylketene-S,N-acetals as building
 blocks for heterocycles: 5-cyanopyrimidines
 AUTHOR(S): Rudorf, W. D.; Augustin, M.
 CORPORATE SOURCE: Sekt. Chem., Martin-Luther-Univ., Halle/Saale, Ger.
 Dem. Rep.
 SOURCE: Journal fuer Praktische Chemie (Leipzig) (1978),
 320(4), 576-84
 CODEN: JPCEAO; ISSN: 0021-8383

10/595734

DOCUMENT TYPE: Journal
 LANGUAGE: German
 OTHER SOURCE(S): CASREACT 90:6337
 ED Entered STN: 12 May 1984
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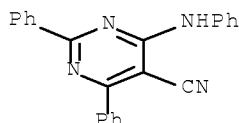


AB Cyanopyrimidines I (R = Me, Ph, 4-O₂NC₆H₄, NH₂, SMe; R₁ = Ph, 4-BrC₆H₄, 4-ClC₆H₄, 3,4-Cl₂C₆H₃, 2-furyl, 2-thienyl; R₂ = SMe) were prepared in 56-91% yield by cyclocondensation of H₂NCR:NH with R₁COC(CN):C(SMe)₂ in the presence of NEt₃. I (R = Me, Ph, NH₂, SMe, R₁ = Ph, R₂ = NHPh) were similarly obtained in 52-63% yield from H₂NCR:NH and NCCBz:C(SMe)NHPh. I (R = Me, NH₂, R₁ = Ph, R₂ = OEt) were obtained when H₂NCR:NH was treated with NCC(COPh):C(SMe)₂ in the presence of NaOEt.

IT 67677-96-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 67677-96-7 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2,4-diphenyl-6-(phenylamino)- (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 67677-96-7P 68364-38-5P 68364-39-6P 68364-40-9P
 68364-41-0P 68364-42-1P 68364-46-5P 68364-47-6P 68364-48-7P
 68364-49-8P 68364-50-1P 68364-52-3P 68364-53-4P 68364-54-5P
 68364-55-6P 68364-56-7P 68364-57-8P 68364-58-9P 68364-59-0P
 68388-54-5P 68388-55-6P 68473-02-9P 68473-05-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

L15 ANSWER 54 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:563538 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 89:163538

ORIGINAL REFERENCE NO.: 89:25349a,25352a

TITLE: Conversion of 2,6-disubstituted-4-(arylamino)-5-cyanopyrimidines to 2,5-substituted-3-(arylamino)-4-cyanopyrroles

AUTHOR(S): Robev, S.

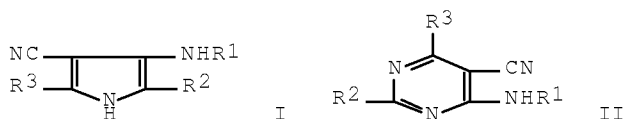
CORPORATE SOURCE: Med. Fak., Sofia, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1978), 31(2), 197-20
 CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

10/595734

LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 89:163538
ED Entered STN: 12 May 1984
GI

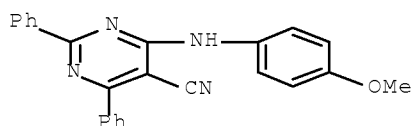


AB Cyanopyrroles I [R¹ = Ph, 2,4-(Me)C₆H₃, 3-C₆H₄, 4-MeOC₆H₄, 1-C₁₀H₇, 4-BrC₆H₄, R² = Ph, p-tolyl, 4-MeOC₆H₄, 2-C₁₀H₇, R³ = 4-BrC₆H₄, 2-MeOC₆H₄, 2,4-Me₂C₆H₃, 2-EtOC₆H₄, p-tolyl 2-C₁₀H₇] were obtained in 45-80% yields by ring contraction of pyrimidines II with Zn-AcOH. II were prepared from a benzamidine and an arylmalononitrile.

IT 64499-36-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reduction by zinc and acetic acid)

RN 64499-36-1 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-[(4-methoxyphenyl)amino]-2,6-diphenyl- (CA INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 27

IT 64499-36-1P 67677-97-8P 67677-98-9P
67677-99-0P 67678-00-6P 67678-01-7P
67678-02-8P 67678-03-9P 67678-04-0P 67678-05-1P
67678-06-2P 67678-07-3P 67753-59-7P
67753-60-0P 67753-61-1P 67753-62-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reduction by zinc and acetic acid)

L15 ANSWER 55 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:546697 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 89:146697

ORIGINAL REFERENCE NO.: 89:22729a,22732a

TITLE: Ring contraction synthesis of 2,5-disubstituted-3-arylamino-4-cyano-pyrroles from 2,6-disubstituted-4-arylamino-5-cyanopyrimidines

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Dep. Pharmacol., Fac. Med., Sofia, Bulg.

SOURCE: Tetrahedron Letters (1978), (13), 1163-6

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

10/595734

OTHER SOURCE(S): CASREACT 89:146697

ED Entered STN: 12 May 1984

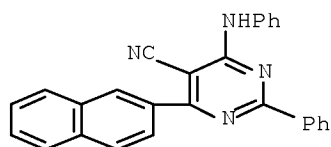
AB 2,5-Diaryl-3-arylamino-4-cyanopyrroles were prepared (50-80%) by ring contraction of 2,6-diaryl-4-arylamino-5-cyanopyrimidines on treatment with Zn/AcOH. E.g., 2,5-diphenyl-3-anilino-4-cyanopyrrole was obtained (72%) from 2,6-diphenyl-4-anilino-5-cyanopyrimidine.

IT 64499-01-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(ring contraction of, with zinc and acetic acid)

RN 64499-01-0 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 4-(2-naphthalenyl)-2-phenyl-6-(phenylamino)-
(CA INDEX NAME)



CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 28

IT 64499-01-0 64499-03-2 64499-16-7 64499-18-9

64499-29-2 64499-32-7 64499-36-1

64499-38-3 64499-39-4 64499-41-8 64499-43-5

67677-96-7 67677-97-8 67677-98-9

67677-99-0 67678-00-6 67678-01-7 67678-02-8

67678-03-9 67678-04-0 67678-05-1 67678-06-2

67678-07-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(ring contraction of, with zinc and acetic acid)

L15 ANSWER 56 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:105409 HCAPLUS Full-text

DOCUMENT NUMBER: 88:105409

ORIGINAL REFERENCE NO.: 88:16545a,16548a

TITLE: Arylamino-pyrimidine derivatives

INVENTOR(S): Fauran, Claude; Raynaud, Guy; Gouret, Claude;
Bourgery, Guy

PATENT ASSIGNEE(S): Delalande S. A., Fr.

SOURCE: Ger. Offen., 15 pp. Addn. to Ger. Offen. 2,444,426.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

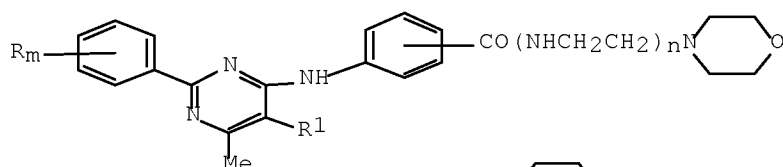
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 2729360	A1	19780112	DE 1977-2729360	19770629
FR 2357252	A2	19780203	FR 1976-20775	19760707
FR 2357252	B2	19781215		
US 4041030	A	19770809	US 1976-714473	19760816
FR 2394543	A2	19790112	FR 1977-18471	19770616
BE 855867	A4	19771220	BE 1977-178577	19770620
GB 1548858	A	19790718	GB 1977-25894	19770621
ZA 7703740	A	19780530	ZA 1977-3740	19770622

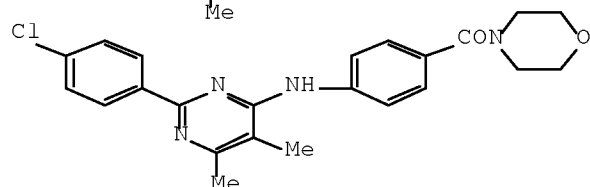
10/595734

CH 611283	A5	19790531	CH 1977-7656	19770622
ES 460411	A2	19781001	ES 1977-460411	19770705
SE 7707880	A	19780108	SE 1977-7880	19770706
NL 7707531	A	19780110	NL 1977-7531	19770706
JP 53012877	A	19780204	JP 1977-80904	19770706
AU 7726808	A	19790111	AU 1977-26808	19770706
SU 679143	A3	19790805	SU 1977-2499407	19770706
PRIORITY APPLN. INFO.:			FR 1976-20775	A 19760707
			FR 1977-18471	A 19770616
			FR 1974-10327	A 19740326
			US 1974-502285	A2 19740903

OTHER SOURCE(S): MARPAT 88:105409
 ED Entered STN: 12 May 1984
 GI



I



II

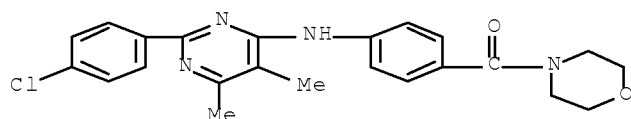
AB Anilinopyrimidines I (R = H, halo, Cl-3 alkoxy; R1 = H, Me; n = 0, 1; m = 0-3) were prepared for use as antianoxics. Thus, 2-(p-chlorophenyl)-4-chloro-5,6-dimethylpyrimidine reacted with 4-(morpholinocarbonyl)aniline in HCl-AcOH to give 51% II. Six other I were prepared; I have antianoxic activity comparable to that of vincamine.

IT 65789-84-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and antianoxic activity of)

RN 65789-84-6 HCAPLUS

CN Morpholine, 4-[4-[[2-(4-chlorophenyl)-5,6-dimethyl-4-pyrimidinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



IC C07D413-12

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 65789-83-5P 65789-84-6P 65789-85-7P 65789-86-8P
 65789-87-9P 65789-88-0P 65789-89-1P

10/595734

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antianoxic activity of)

L15 ANSWER 57 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1978:22768 HCAPLUS Full-text

DOCUMENT NUMBER: 88:22768

ORIGINAL REFERENCE NO.: 88:3653a,3656a

TITLE: Production of 3,5-disubstituted 1,2,4-oxadiazoles by
reaction of 2,3,6-trisubstituted 4-imino-5-cyano-3,4-
dihydropyrimidines with hydroxylamine

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Med. Fak., Sofia, Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1977), 30(7), 1031-4
CODEN: DBANAD; ISSN: 0366-8681

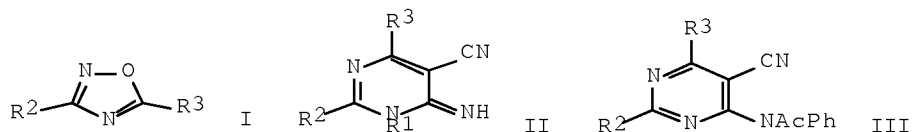
DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 88:22768

ED Entered STN: 12 May 1984

GI



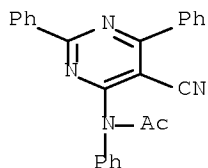
AB The title compds. I (R_2 = Ph, p-tolyl, p-BrC₆H₄, 2-naphthyl; R_3 = Ph, p-BrC₆H₄, p-O₂NC₆H₄, 2-pyridyl, o-MeOC₆H₄) were obtained in 75-95% yields by boiling II (R_1 = Ph, o-, p-tolyl, p-MeOC₆H₄, p-ClC₆H₄) with NH₂OH 2-3 min in EtOH. Addnl. obtained were III (R_2 = Ph, 2-naphthyl; R_3 = Ph, 2-pyridyl, p-tolyl).

IT 65004-35-5F

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 65004-35-5 HCAPLUS

CN Acetamide, N-(5-cyano-2,6-diphenyl-4-pyrimidinyl)-N-phenyl- (CA INDEX NAME)



CC 28-11 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 888-71-1P 2039-06-7P 16151-03-4P 28825-12-9P 58598-96-2P
65004-19-5P 65004-20-8P 65004-21-9P 65004-22-0P 65004-23-1P
65004-35-5P 65004-36-6P 65004-37-7P
65004-38-8P 65034-86-8P 65229-67-6P

10/595734

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 58 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1977:567970 HCAPLUS Full-text

DOCUMENT NUMBER: 87:167970

ORIGINAL REFERENCE NO.: 87:26547a,26550a

TITLE: Production of pyrimidine derivatives by reacting
aromatic N-monoaryl substituted amidines with
ylidenmalononitriles

AUTHOR(S): Robev, S.

CORPORATE SOURCE: Med. Fac., Sofia, Bulg.

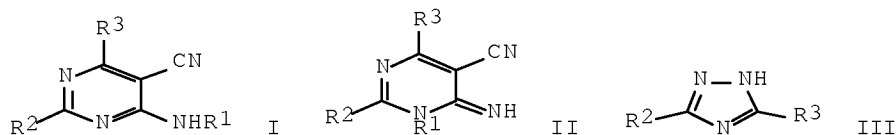
SOURCE: Doklady Bolgarskoi Akademii Nauk (1977), 30(5), 719-22
CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: Russian

ED Entered STN: 12 May 1984

GI



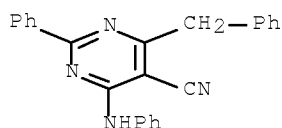
AB Fifty pyrimidinecarbonitriles I (R1, R2 = Ph, substituted Ph, R3 = Ph, substituted Ph, naphthyl, pyridyl) were obtained in 23-75% yields by cycloaddn. of R2C(:NR1)NH2 to R3CH:C(CN)2 in THF 1 week at -10°. Imino derivs. II (R1 = Ph, 2-, 4-MeC6H4, 2-MeOC6H4, 4-ClC6H4, R2 = Ph, 4-MeC6H4, 2-ClC6H4, R3 = Ph, 2-pyridyl, 4-O2NC6H4, 3-BrC6H4) were obtained in 12-30% yields by dehydrogenation of the corresponding amino derivative Triazoles III (R2 = Ph, 4-MeC6H4, 2-naphthyl, R3 = Ph, 2-pyridyl, 4-O2NC6H4, 3-BrC6H4) were obtained in 84-96% yields by ring contraction of II with N2H4.

IT 64498-99-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 64498-99-3 HCAPLUS

CN 5-Pyrimidinecarbonitrile, 2-phenyl-4-(phenylamino)-6-(phenylmethyl)- (CA
INDEX NAME)



CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 2039-06-7P 3213-95-4P 4057-66-3P 25433-29-8P 64498-94-8P
64498-95-9P 64498-96-0P 64498-97-1P 64498-99-3P
64499-00-9P 64499-01-0P 64499-02-1P
64499-03-2P 64499-04-3P 64499-05-4P 64499-06-5P

10/595734

64499-07-6P 64499-08-7P 64499-09-8P
64499-10-1P 64499-11-2P 64499-12-3P
64499-13-4P 64499-14-5P 64499-15-6P
64499-16-7P 64499-17-8P 64499-18-9P
64499-19-0P 64499-20-3P 64499-21-4P
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64499-31-6P 64499-32-7P 64499-33-8P
64499-34-9P 64499-35-0P 64499-36-1P
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64499-44-1P 64499-45-2P 64499-46-3P
64499-47-4P 64499-48-5P 64530-27-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L15 ANSWER 59 OF 59 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1975:410130 HCAPLUS Full-text

DOCUMENT NUMBER: 83:10130

ORIGINAL REFERENCE NO.: 83:1705a,1708a

TITLE: 2-Aryl-4-substituted-amino-5-pyrimidyl derivatives

INVENTOR(S): Kim, Dong H.; Santilli, Arthur A.

PATENT ASSIGNEE(S): American Home Products Corp.

SOURCE: U.S., 6 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 3860596	A	19750114	US 1972-285154	19720831

PRIORITY APPLN. INFO.: US 1972-285154 A 19720831

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

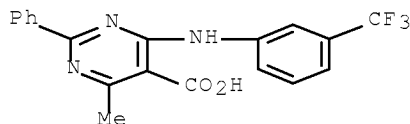
AB The depressant and antiinflammatory pyrimidines I [R = HO(CH₂)₃, m-F₃CC₆H₄, 2,3-Me₂C₆H₃, R₁ = CO₂Et, CO₂H, CH₂OH, R₂ = H, Me] were prepared Thus, PhC(:NH)NH₂ was cyclized with EtOCH₂CH:C(CO₂Et)₂ to give Et 4-chloro-6-methyl-2-phenyl-3-pyrimidinecarboxylate, which with m-F₃CC₆H₄NH₂ followed by hydrolysis gave I (R = m-F₃CC₆H₄, R₁ = CO₂H, R₂ = Me) (II). At 127 mg/kg II was a central nervous system depressant and antiinflammatory at 0.09 mM.

IT 55914-58-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and depressant and antiinflammatory activity of)

RN 55914-58-4 HCAPLUS

CN 5-Pyrimidinecarboxylic acid, 4-methyl-2-phenyl-6-[[3-(trifluoromethyl)phenyl]amino]- (CA INDEX NAME)



IC C07D

10/595734

INCL 260256400N

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 55406-03-6P ~~55914-58-4P~~

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and depressant and antiinflammatory activity of)

IT 55406-01-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and hydrolysis of)

10/595734

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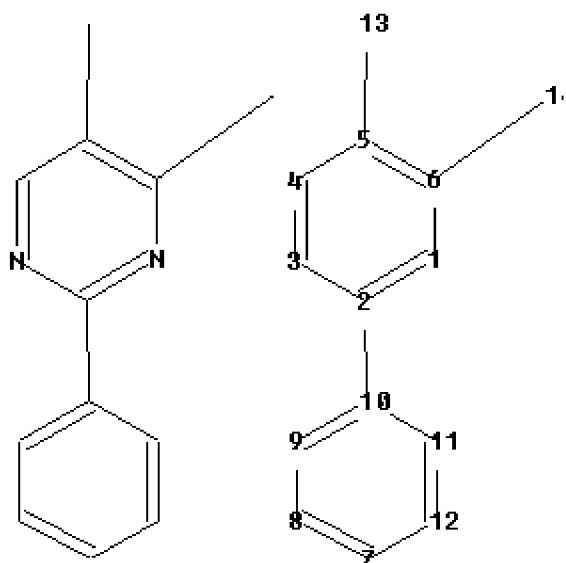
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10/595734



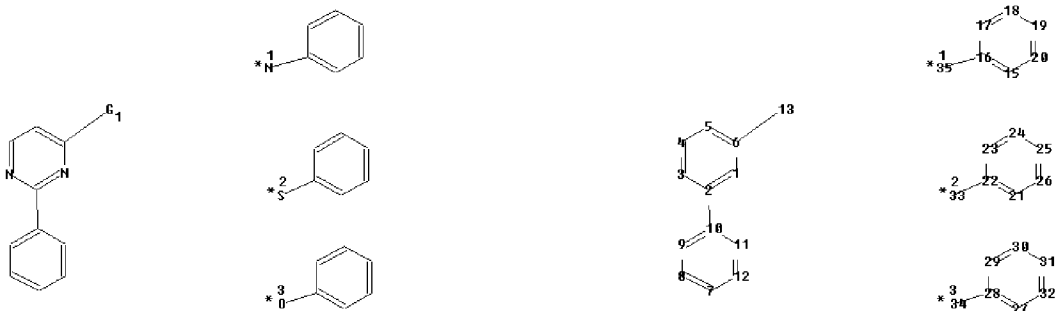
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2-10
ring/chain bonds :
5-13 6-14
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1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
5-13 6-14
exact bonds :
2-10
normalized bonds :
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isolated ring systems :
containing 1 : 7 :
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11:Atom 12:Atom 13:CLASS 14:CLASS
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L6 367 SEA SUB=L4 SSS FUL L5

Uploading L2.str

10/595734



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ring nodes :
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26 27 28 29 30 31 32
chain bonds :
2-10 6-13 16-35 22-33 28-34
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 15-16 15-20 16-17
17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29
29-30 30-31
31-32
exact/norm bonds :
6-13 16-35 22-33 28-34
exact bonds :
2-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 15-16 15-20 16-17
17-18 18-19 19-20 21-22 21-26 22-23 23-24 24-25 25-26 27-28 27-32 28-29
29-30 30-31
31-32
isolated ring systems :
containing 1 : 7 : 15 : 21 : 27 :

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G1:[*1],[*2],[*3]

Match level :

```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
21:Atom 22:Atom
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom
32:Atom 33:CLASS
34:CLASS 35:CLASS

```

L7 367 SEA ABB=ON PLU=ON L6 NOT PMS/CI

10/595734

FILE 'HCAPLUS' ENTERED AT 11:04:34 ON 30 APR 2008

L8 60 SEA ABB=ON PLU=ON L7
L9 18 SEA ABB=ON PLU=ON L8 AND 1/SC,SX
D SCAN TI HIT
L10 42 SEA ABB=ON PLU=ON L8 NOT L9
E MARTIN RICHARD/AU
L11 137 SEA ABB=ON PLU=ON ("MARTIN RICHARD"/AU OR "MARTIN RICHARD
A"/AU OR "MARTIN RICHARD ALAN"/AU OR "MARTIN RICHARD ALEXANDER"
/AU OR "MARTIN RICHARD ALVIN"/AU)
E MOHAN RAJU/AU
L12 64 SEA ABB=ON PLU=ON ("MOHAN RAJU"/AU OR "MOHAN RAJU K"/AU OR
"MOHAN RAJU M"/AU)
E ORDENTLICH PETER/AU
L13 24 SEA ABB=ON PLU=ON ("ORDENTLICH P"/AU OR "ORDENTLICH PETER"/AU
)
L14 1 SEA ABB=ON PLU=ON (((L11 OR L12 OR L13) AND L8)) OR (L1 AND
L8)
L15 59 SEA ABB=ON PLU=ON L8 NOT L14
SAVE TEMP L15 JAI734HCAP1/A

FILE 'REGISTRY' ENTERED AT 11:15:54 ON 30 APR 2008

L16 0 SEA ABB=ON PLU=ON L6 AND (MEDLINE/LC OR BIOSIS/LC OR
DRUGU/LC OR EMBASE/LC)

FILE 'MEDLINE, BIOSIS, DRUGU, EMBASE, PASCAL' ENTERED AT 11:17:39 ON 30
APR 2008

L17 130 SEA ABB=ON PLU=ON MARTIN RICHARD/AU
L18 72 SEA ABB=ON PLU=ON MOHAN RAJU/AU
L19 37 SEA ABB=ON PLU=ON ORDENTLICH PETER/AU
L20 8 SEA ABB=ON PLU=ON L17 AND (L18 OR L19)
L21 8 SEA ABB=ON PLU=ON L18 AND L19
L22 8 SEA ABB=ON PLU=ON L20 OR L21
D TI AU 1-3
SAVE TEMP L22 JAI734MULTIN/A

FILE 'STNGUIDE' ENTERED AT 11:19:36 ON 30 APR 2008

D QUE L14
D QUE L22

FILE 'HCAPLUS, MEDLINE, BIOSIS, EMBASE, PASCAL' ENTERED AT 11:21:08 ON 30
APR 2008

L23 4 DUP REM L14 L22 (5 DUPLICATES REMOVED)
ANSWER '1' FROM FILE HCAPLUS
ANSWERS '2-3' FROM FILE MEDLINE
ANSWER '4' FROM FILE BIOSIS
D L23 1 IBIB ABS HITSTR
D L23 2-4 IBIB AB
D QUE L15
D L15 IBIB ED ABS FHITSTR HITIND 1-59